

=> d his

(FILE 'HOME' ENTERED AT 17:09:21 ON 08 MAY 2002)

FILE 'REGISTRY' ENTERED AT 17:09:28 ON 08 MAY 2002

L1 STRUCTURE uploaded
L2 23 S L1
L3 3340 S L1 FUL

FILE 'STNGUIDE' ENTERED AT 17:12:55 ON 08 MAY 2002

FILE 'REGISTRY' ENTERED AT 17:13:31 ON 08 MAY 2002

L4 STRUCTURE uploaded
L5 50 S L4 SAM SUB=L3
L6 2031 S L4 FUL SUB=L3
L7 1309 S L3 NOT L6

FILE 'STNGUIDE' ENTERED AT 17:18:36 ON 08 MAY 2002

FILE 'REGISTRY' ENTERED AT 17:21:46 ON 08 MAY 2002

L8 STRUCTURE uploaded
L9 46 S L8 SAM SUB=L7
L10 807 S L8 FUL SUB=L7
L11 STRUCTURE uploaded
L12 0 S L11
L13 1 S L11 FUL
L14 STRUCTURE uploaded
L15 24 S L14 SAM SUB=L10
L16 370 S L14 FUL SUB=L10
L17 STRUCTURE uploaded
L18 1309 S L7 SUB=L16 SAM
L19 10 S L17 SAM SUB=L16
L20 155 S L17 FUL SUB=L16
L21 156 S L13 OR L20

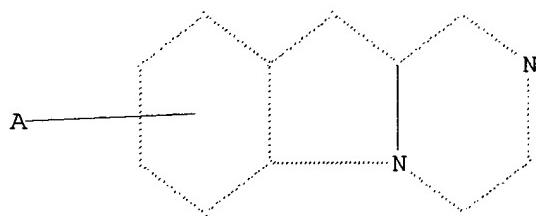
FILE 'CAPLUS' ENTERED AT 17:30:48 ON 08 MAY 2002

L22 24 S L21

=> d l1; d l4; d l8; d l11; d l14; d l17; d his

L1 HAS NO ANSWERS

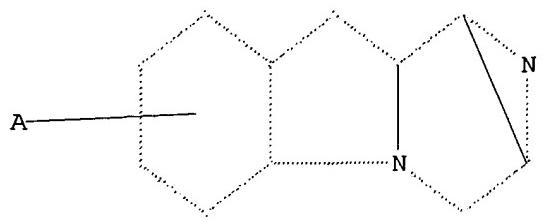
L1 STR



Structure attributes must be viewed using STN Express query preparation.

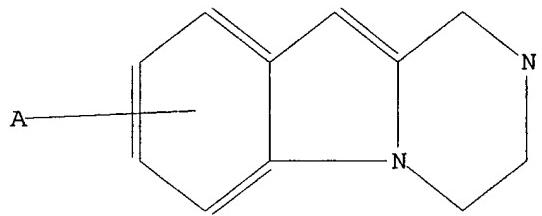
L4 HAS NO ANSWERS

L4 STR



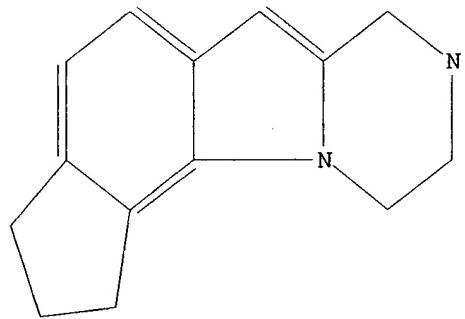
Structure attributes must be viewed using STN Express query preparation.

L8 HAS NO ANSWERS
L8 STR



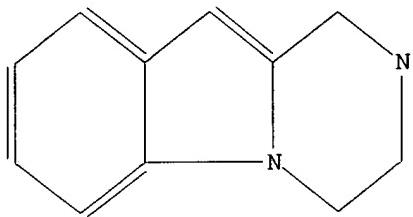
Structure attributes must be viewed using STN Express query preparation.

L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

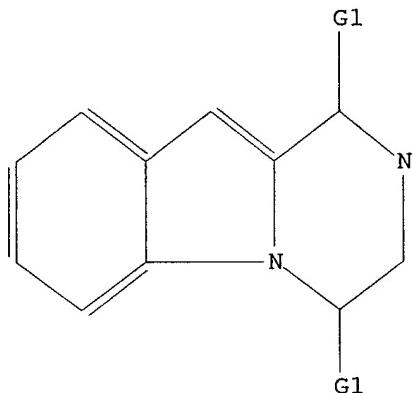
L14 HAS NO ANSWERS
L14 STR



Structure attributes must be viewed using STN Express query preparation.

L17 HAS NO ANSWERS

L17 STR



G1 H,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 17:09:21 ON 08 MAY 2002)

FILE 'REGISTRY' ENTERED AT 17:09:28 ON 08 MAY 2002

L1 STRUCTURE uploaded
L2 23 S L1
L3 3340 S L1 FUL

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L5 50 S L4 SAM SUB=L3
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L7 1309 S L3 NOT L6

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FILE 'REGISTRY' ENTERED AT 17:21:46 ON 08 MAY 2002

L8 STRUCTURE uploaded
L9 46 S L8 SAM SUB=L7
L10 807 S L8 FUL SUB=L7
L11 STRUCTURE uploaded

L12	0 S L11
L13	1 S L11 FUL
L14	STRUCTURE uploaded
L15	24 S L14 SAM SUB=L10
L16	370 S L14 FUL SUB=L10
L17	STRUCTURE uploaded
L18	1309 S L7 SUB=L16 SAM
L19	10 S L17 SAM SUB=L16
L20	155 S L17 FUL SUB=L16
L21	156 S L13 OR L20

FILE 'CAPLUS' ENTERED AT 17:30:48 ON 08 MAY 2002
L22 24 S L21

FILE 'CAOLD' ENTERED AT 17:33:57 ON 08 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 121
L23 0 L21

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:35:06 ON 08 MAY 2002

L22 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2002 ACS

AN 2002:107346 CAPLUS

DN 136:167392

TI Preparation of 1,2,3,4,10,10a-hexahydro-1H-pyrazino[1,2-a]indoles and
analogs and 5-HT receptor agonists for treatment of CNS diseases,
cardiovascular disorders, gastrointestinal disorders, and obesity

IN Bentley, Jonathan Mark; Hebeisen, Paul; Muller, Marc; Richter, Hans;

Röever, Stephan; Mattei, Patrizio; Taylor, Sven

PA F. Hoffmann-La Roche A.-G., Switz.; Vernalis Research Limited

SO PCT Int. Appl., 125 pp.

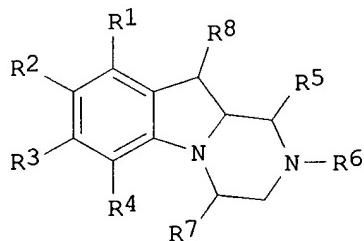
CODEN: PIXXD2

DT Patent

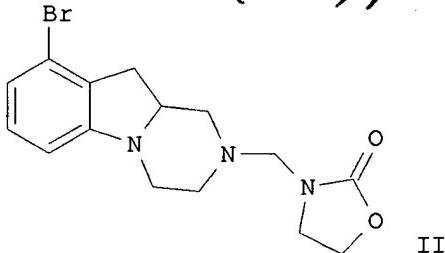
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002010169	A1	20020207	WO 2001-EP8520	<u>20010724</u>
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002035110	A1	20020321	US 2001-912949	20010725
PRAI	EP 2000-116517	A	20000731		
OS	MARPAT	136:167392			
GI					



I



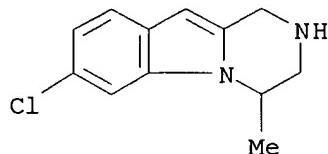
II

AB Title compds. I [wherein R1, R2, R3, and R4 = independently H, halo, hydroxy(alkyl), (cyclo)alkyl, ar(alkyl), (halo)alkoxy(alkyl), haloalkyl, aryloxy, alkylcarbonyl, arylcarbonyl, alkylthio, arylthio, alkylsulfoxy, arylsulfoxy, alkylsulfonyl, arylsulfonyl, NO₂, CN, alkoxy carbonyl, aryloxycarbonyl, (di)alkylaminocarbonyl, carboxy, heterocyclyl, (un)substituted amino, etc.; R5 = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, hydroxyalkyl, carbamoylalkyl, alkoxy carbonylalkyl, aryloxycarbonylalkyl, or (CH₂)_nA; R7 = H, (cyclo)alkyl, hydroxyalkyl, or alkoxyalkyl, with provisos; R8 = H or (cyclo)alkyl; A = heterocyclyl, cycloalkanoyl, or substituted cycloalkyl; n = 0-3; and their pharmaceutically usable salts, solvates, or esters] were prep'd. and 5-HT receptor agonists. For example, (10aR)-9-bromo-1,2,3,4,10,10a-hexahydro-1H-pyrazino[1,2-a]indole and 2-oxazolidinone were dissolved in CH₂Cl₂. Formaldehyde was added and the soln. stirred for 3 h at room temp. to give (10aR)-II (82%). In serotonin receptor binding assays, the latter exhibited activity toward the 5-HT_{2C}, 5-HT_{2B}, and 5-HT_{2A} receptors with Ki values of 26 nM, 110 nM and 230 nM, resp. I are useful as pharmaceutical preps. for the treatment or prevention of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal

Later *Then*
F.P.

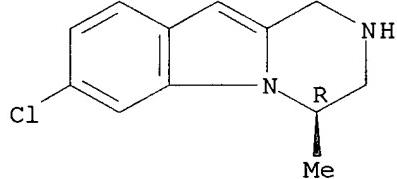
F.P. is satd

IT disorders, diabetes insipidus, obesity, and sleep apnea (no data).
396074-48-9P, 7-Chloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process) (intermediate; prepn. of pyrazinoindoles and analogs as 5-HT receptor agonists for treatment of CNS diseases, cardiovascular disorders, gastrointestinal disorders, and obesity)
 RN 396074-48-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-4-methyl- (9CI) (CA INDEX NAME)



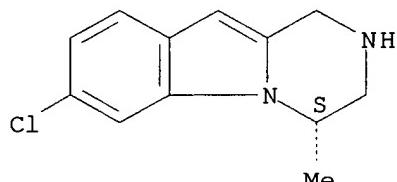
IT **396074-39-8P**, (4R)-7-Chloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396074-42-3P**, (4S)-7-Chloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole
 RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of pyrazinoindoles and analogs as 5-HT receptor agonists for treatment of CNS diseases, cardiovascular disorders, gastrointestinal disorders, and obesity)
 RN 396074-39-8 CAPLUS
 CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 396074-42-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-4-methyl-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

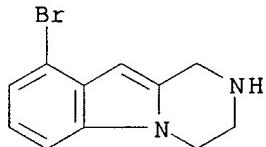


IT **396074-44-5P**, 9-Bromo-1,2,3,4-tetrahydropyrazino[1,2-a]indole
396074-54-7P, (R)-4-Methyl-7-trifluoromethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396074-63-8P**, (R)-6-Ethyl-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole

396074-73-0P, (R)-7-Bromo-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396074-79-6P**, (R)-9-Chloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396074-91-2P**, (R)-7-Chloro-8-fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-19-7P**, 7-Bromo-4-ethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-33-5P**, (R)-4,6,10-Trimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole oxalate **396075-49-3P**, (R)-8-Fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-58-4P**, (R)-7-Bromo-9-fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-62-0P**, (R)-6-Fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-76-6P**, (R)-6-Bromo-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396075-78-8P**, (R)-7-Fluoro-4,6-dimethyl-1,2,3,4-tetrahydro-2H-pyrazino[1,2-a]indole **396075-84-6P**, (R)-7-Chloro-4,8-dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396075-88-0P**, (R)-4-Methyl-6-trifluoromethoxy-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396076-28-1P** **396076-46-3P**, (R)-8-Bromo-4,7-dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole-2-carboxylic acid tert-butyl ester **396076-47-4P**, (R)-8-Bromo-4,7-dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396076-50-9P**, (R)-4,7-Dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole-2-carboxylic acid tert-butyl ester **396076-51-0P**, (R)-4,7-Dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396076-54-3P**, (R)-4,7,8-Trimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole-2-carboxylic acid tert-butyl ester **396076-55-4P**, (R)-4,7,8-Trimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396076-58-7P**, (R)-6,7-Dichloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396076-68-9P**, (R)-8-Bromo-7-fluoro-4-methyl-3,4-dihydro-1H-pyrazino[1,2-a]indole-2-carboxylic acid tert-butyl ester **396076-69-0P**, (R)-8-Bromo-7-fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396076-75-8P**, (R)-8-Fluoro-4,6-dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole hydrochloride **396076-85-0P**, (R)-6-Bromo-4,7-dimethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole **396076-91-8P**, (S)-(7-Trifluoromethyl-1,2,3,4-tetrahydropyrazino[1,2-a]indol-4-yl)methanol
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of pyrazinoindoles and analogs as 5-HT receptor agonists for treatment of CNS diseases, cardiovascular disorders, gastrointestinal disorders, and obesity)

RN 396074-44-5 CAPLUS

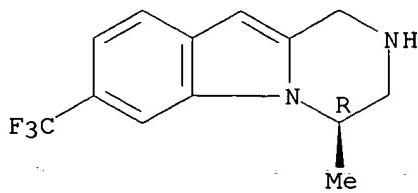
CN Pyrazino[1,2-a]indole, 9-bromo-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 396074-54-7 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-4-methyl-7-(trifluoromethyl)-, (4R)- (9CI) (CA INDEX NAME)

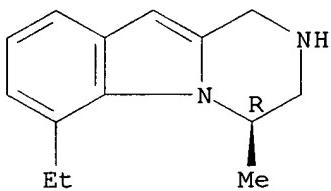
Absolute stereochemistry. Rotation (-).



RN 396074-63-8 CAPLUS

CN Pyrazino[1,2-a]indole, 6-ethyl-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI)
(CA INDEX NAME)

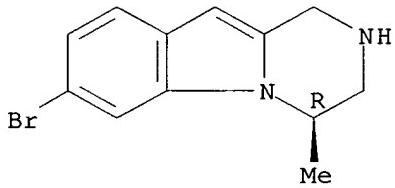
Absolute stereochemistry.



RN 396074-73-0 CAPLUS

CN Pyrazino[1,2-a]indole, 7-bromo-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI)
(CA INDEX NAME)

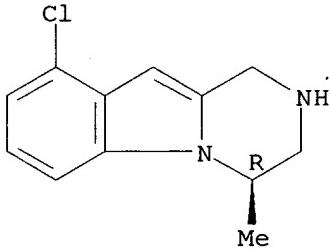
Absolute stereochemistry. Rotation (-).



RN 396074-79-6 CAPLUS

CN Pyrazino[1,2-a]indole, 9-chloro-1,2,3,4-tetrahydro-4-methyl-,
monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



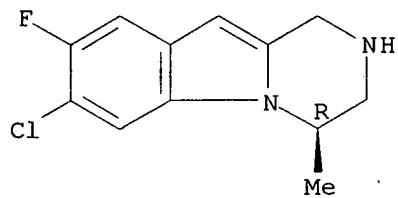
HCl

RN 396074-91-2 CAPLUS

CN Pyrazino[1,2-a]indole, 7-chloro-8-fluoro-1,2,3,4-tetrahydro-4-methyl-,

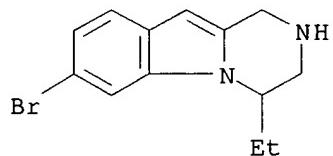
(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 396075-19-7 CAPLUS

CN Pyrazino[1,2-a]indole, 7-bromo-4-ethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 396075-33-5 CAPLUS

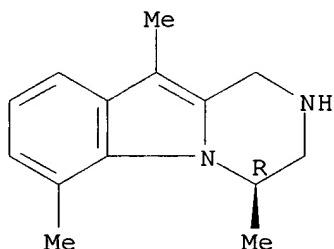
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-4,6,10-trimethyl-, (4R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 396075-32-4

CMF C14 H18 N2

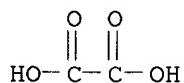
Absolute stereochemistry.



CM 2

CRN 144-62-7

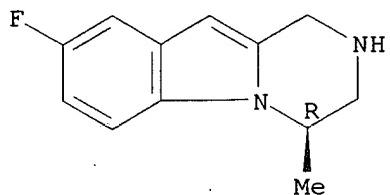
CMF C2 H2 O4



RN 396075-49-3 CAPLUS

CN Pyrazino[1,2-a]indole, 8-fluoro-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

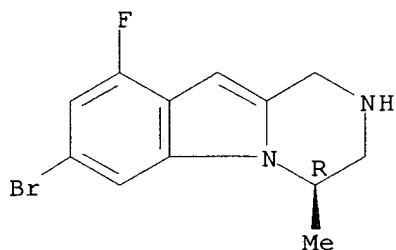
Absolute stereochemistry.



RN 396075-58-4 CAPLUS

CN Pyrazino[1,2-a]indole, 7-bromo-9-fluoro-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

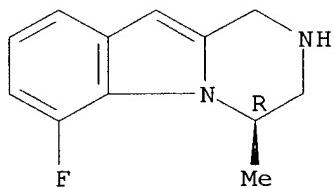
Absolute stereochemistry.



RN 396075-62-0 CAPLUS

CN Pyrazino[1,2-a]indole, 6-fluoro-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI) (CA INDEX NAME)

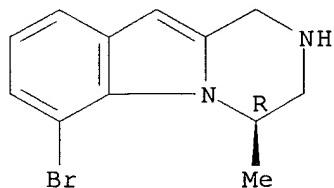
Absolute stereochemistry.



RN 396075-76-6 CAPLUS

CN Pyrazino[1,2-a]indole, 6-bromo-1,2,3,4-tetrahydro-4-methyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

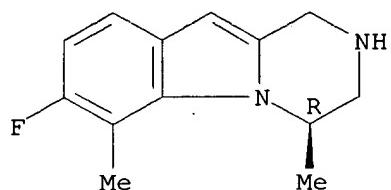
Absolute stereochemistry.



HCl

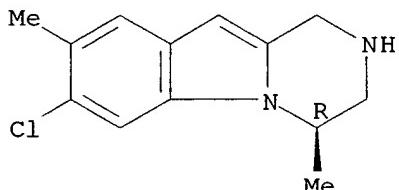
RN 396075-78-8 CAPLUS
CN Pyrazino[1,2-a]indole, 7-fluoro-1,2,3,4-tetrahydro-4,6-dimethyl-, (4R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



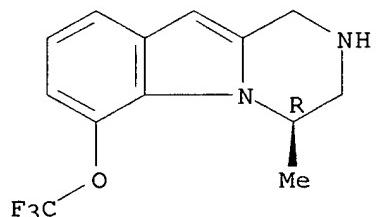
RN 396075-84-6 CAPLUS
CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-4,8-dimethyl-, (4R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



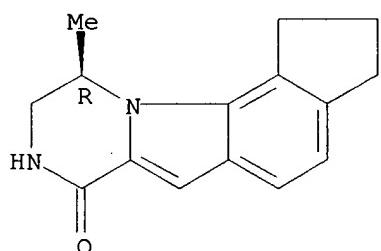
RN 396075-88-0 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-4-methyl-6-(trifluoromethoxy)-,
(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



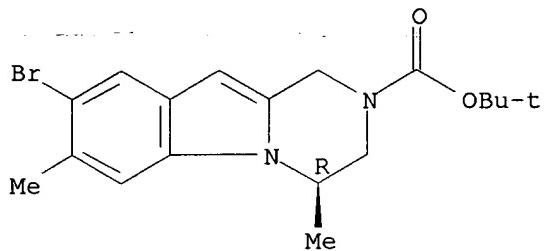
RN 396076-28-1 CAPLUS
CN 1H-Cyclopenta[g]pyrazino[1,2-a]indol-7(8H)-one, 2,3,9,10-tetrahydro-10-
methyl-, (10R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



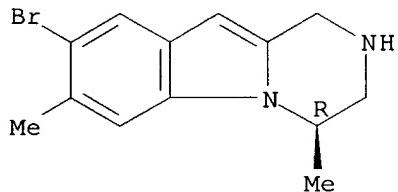
RN 396076-46-3 CAPLUS
CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-bromo-3,4-dihydro-4,7-dimethyl-, 1,1-dimethylethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 396076-47-4 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-4,7-dimethyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

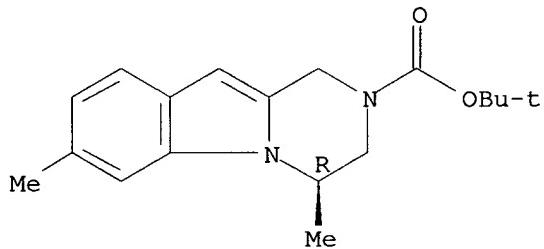
Absolute stereochemistry.



● HCl

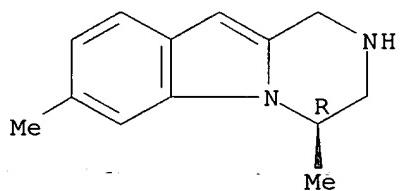
RN 396076-50-9 CAPLUS
CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 3,4-dihydro-4,7-dimethyl-, 1,1-dimethylethyl ester, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 396076-51-0 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-4,7-dimethyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

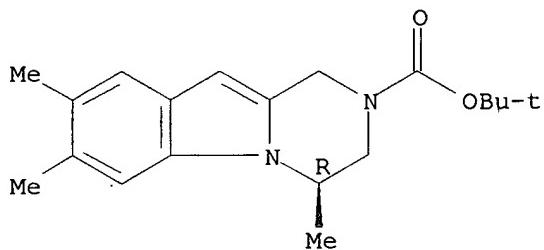


● HCl

RN 396076-54-3 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 3,4-dihydro-4,7,8-trimethyl-, 1,1-dimethylethyl ester, (4R)- (9CI) (CA INDEX NAME)

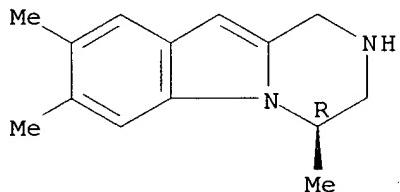
Absolute stereochemistry.



RN 396076-55-4 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-4,7,8-trimethyl-, (4R)- (9CI) (CA INDEX NAME)

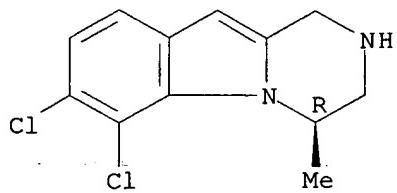
Absolute stereochemistry.



RN 396076-58-7 CAPLUS

CN Pyrazino[1,2-a]indole, 6,7-dichloro-1,2,3,4-tetrahydro-4-methyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

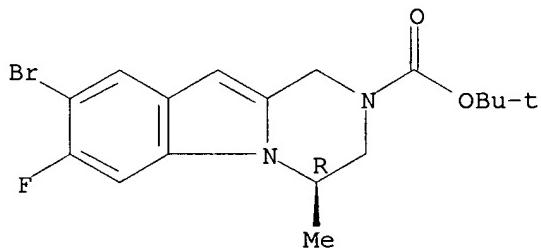


● HCl

RN 396076-68-9 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-bromo-7-fluoro-3,4-dihydro-4-methyl-, 1,1-dimethylethyl ester, (4R)- (9CI) (CA INDEX NAME)

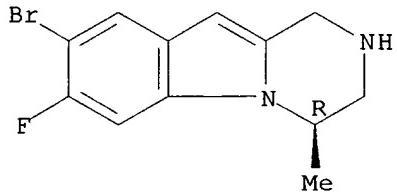
Absolute stereochemistry.



RN 396076-69-0 CAPLUS

CN Pyrazino[1,2-a]indole, 8-bromo-7-fluoro-1,2,3,4-tetrahydro-4-methyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

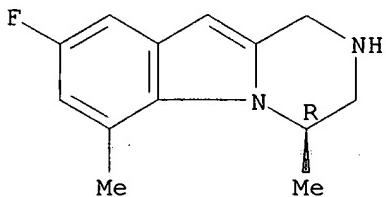


● HCl

RN 396076-75-8 CAPLUS

CN Pyrazino[1,2-a]indole, 8-fluoro-1,2,3,4-tetrahydro-4,6-dimethyl-, monohydrochloride, (4R)- (9CI) (CA INDEX NAME)

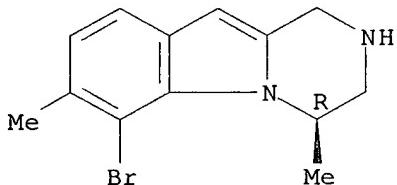
Absolute stereochemistry.



● HCl

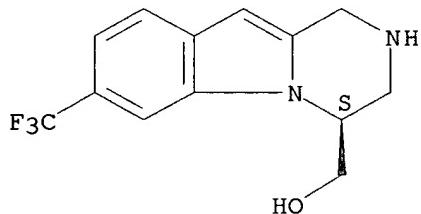
RN 396076-85-0 CAPLUS
 CN Pyrazino[1,2-a]indole, 6-bromo-1,2,3,4-tetrahydro-4,7-dimethyl-, (4R)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



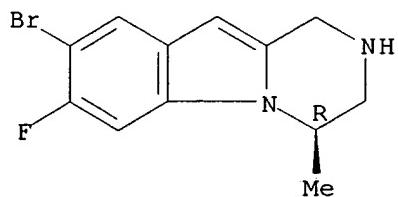
RN 396076-91-8 CAPLUS
 CN Pyrazino[1,2-a]indole-4-methanol, 1,2,3,4-tetrahydro-7-(trifluoromethyl)-,
 (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 396076-70-3, (R)-8-Bromo-7-fluoro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole 396639-62-6,
 (R)-9-Chloro-4-methyl-1,2,3,4-tetrahydropyrazino[1,2-a]indole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; prepn. of pyrazinoindoles and analogs as 5-HT receptor
 agonists for treatment of CNS diseases, cardiovascular disorders,
 gastrointestinal disorders, and obesity)
 RN 396076-70-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-bromo-7-fluoro-1,2,3,4-tetrahydro-4-methyl-,
 (4R)- (9CI) (CA INDEX NAME)

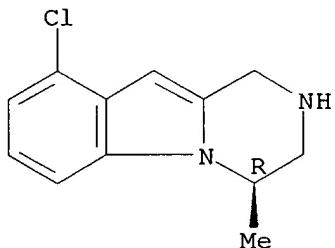
Absolute stereochemistry.



RN 396639-62-6 CAPLUS

CN Pyrazino[1,2-a]indole, 9-chloro-1,2,3,4-tetrahydro-4-methyl-, (4R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

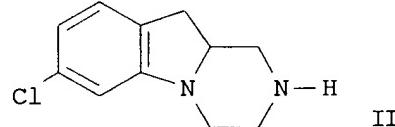
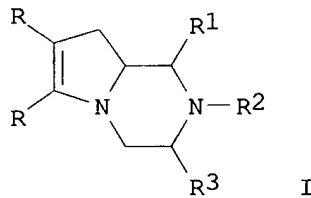


RE.CNT 3

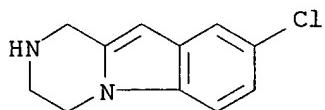
THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 2000:535145 CAPLUS
 DN 133:150579
 TI Preparation of hexahydropyrazino[1,2-a]indoles as 5-HT2 receptor ligands
 IN Adams, David Reginald; Bentley, Jon Mark; Davidson, James; Duncton,
 Matthew Alexander James; Porter, Richard Hugh Phillip
 PA Vernalis Research Limited, UK
 SO PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

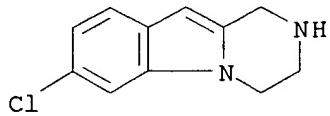
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044753	A1	<u>20000803</u>	WO 2000-GB244	<u>20000128</u>
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1147110	A1	20011024	EP 2000-901240	20000128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000008979	A	20020205	BR 2000-8979	20000128
PRAI	GB 1999-2047	A	19990129		
	WO 2000-GB244	W	20000128		
OS	MARPAT	133:150579			
GI					



AB Title compds. [I; RR = substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH,
 etc.; R1-R3 = H or alkyl] were prep'd. Thus, Me 6-chloroindole-2-
 carboxylate was N-alkylated by ClCH₂CN and the product reductively
 cyclized to give, after redn., title compd. II. Data for biol. activity
 of I were given.
 IT 126718-22-7P 287384-61-6P 287384-62-7P
 287384-63-8P 287384-67-2P 287384-68-3P
 287384-72-9P 287384-77-4P 287385-02-8P
 287385-06-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of hexahydropyrazino[1,2-a]indoles as 5-HT2 receptor ligands)
 RN 126718-22-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



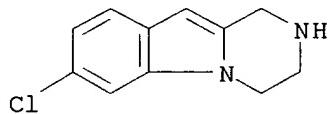
RN 287384-61-6 CAPLUS
CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 287384-62-7 CAPLUS
CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

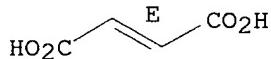
CRN 287384-61-6
CMF C11 H11 Cl N2



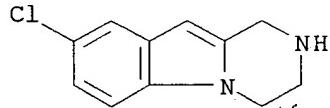
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



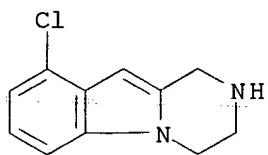
RN 287384-63-8 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

RN 287384-67-2 CAPLUS
CN Pyrazino[1,2-a]indole, 9-chloro-1,2,3,4-tetrahydro-, monohydrochloride

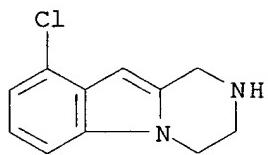
(9CI) (CA INDEX NAME)



● HCl

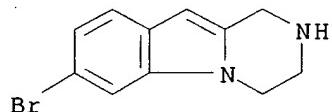
RN 287384-68-3 CAPLUS

CN Pyrazino[1,2-a]indole, 9-chloro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



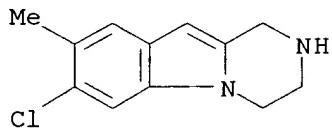
RN 287384-72-9 CAPLUS

CN Pyrazino[1,2-a]indole, 7-bromo-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



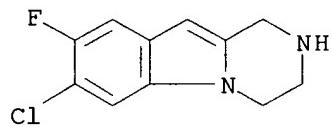
RN 287384-77-4 CAPLUS

CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



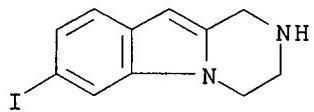
RN 287385-02-8 CAPLUS

CN Pyrazino[1,2-a]indole, 7-chloro-8-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 287385-06-2 CAPLUS

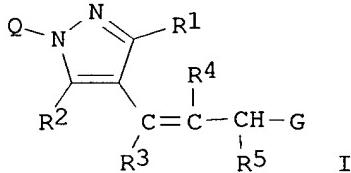
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-7-iodo- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

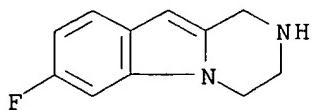
L22 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 2000:84798 CAPLUS
 DN 132:137383
 TI Preparation of pyrazole derivatives as antitumor agents
 IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki; Makino, Chie
 PA Daiichi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 189 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005230	A1	20000203	WO 1999-JP3962	19990723
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9948002	A1	20000214	AU 1999-48002	19990723
	EP 1103551	A1	20010530	EP 1999-931515	19990723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000169475	A2	20000620	JP 1999-211211	19990726
	NO 2001000405	A	20010322	NO 2001-405	20010123
PRAI	JP 1998-208807	A	19980724		
	JP 1998-274459	A	19980929		
	WO 1999-JP3962	W	19990723		
OS	MARPAT	132:137383			
GI					



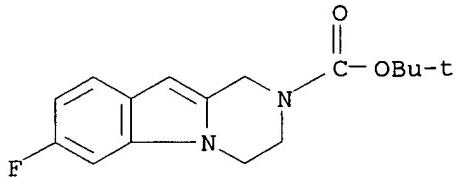
AB The title compds. I [R1 = H, halo, etc.; R2 = H, halo, OH, etc.; R3 = H, amino, alkoxy, etc.; R4 = H, halo, alkylamino, etc.; R5 = H, alkyl, etc.; Q = heterocyclic ring, etc.; G = heterocyclic ring (further details on said ring are given)] are prep'd. Compds. of this invention *in vitro* showed IC₅₀ values of 0.6 ng/mL to 35 ng/mL against the growth of lung tumor cells.
 IT 256930-17-3P 256930-18-4P 256930-21-9P
 256930-22-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of pyrazole derivs. as antitumor agents)
 RN 256930-17-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 7-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

✓ CUM



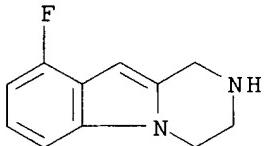
RN 256930-18-4 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 7-fluoro-3,4-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



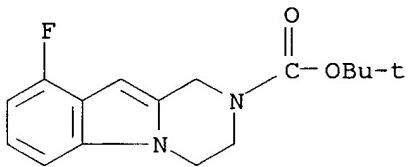
RN 256930-21-9 CAPLUS

CN Pyrazino[1,2-a]indole, 9-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



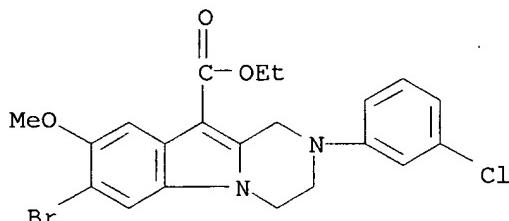
RN 256930-22-0 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 9-fluoro-3,4-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:565911 CAPLUS
 DN 131:179801
 TI P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells
 IN Smith, Charles
 PA Fox Chase Cancer Center, USA
 SO PCT Int. Appl., 30 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943323	A1	19990902	WO 1999-US4439	19990226
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6248752	B1	20010619	US 1999-257829	19990225
PRAI	US 1998-76212P	P	19980227		
OS	MARPAT 131:179801				
AB	Various compds., such as dihydropyridines, thiaxanthenes, phenothiazines, cyclosporines and acridonecarboxamides, effective in sensitizing drug resistant tumor cells are disclosed which are useful in cancer therapy. The compds. of the invention are ether: (1) selective inhibitors of P-glycoprotein function, (2) selective inhibitors of MRP function, or (3) dual inhibitors of both transporters. The compds. increased the toxicity of antitumor drug, e.g. actinomycin D toward P-glycoprotein-mediated multidrug resistant cells MCF-7/ADR and/or vincristine toward MRP-mediated multidrug resistant cells HL-60/ADR. Most of the compds. tested have low intrinsic cytotoxicity (<20% of cells killed by doses of 10 .mu.g/mL).				
IT	149246-49-1 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (P-glycoprotein and MRP inhibitors for chemosensitizing multidrug resistant tumor cells)				
RN	149246-49-1 CAPLUS				
CN	Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-2-(3-chlorophenyl)-1,2,3,4-tetrahydro-8-methoxy-, ethyl ester (9CI) (CA INDEX NAME)				



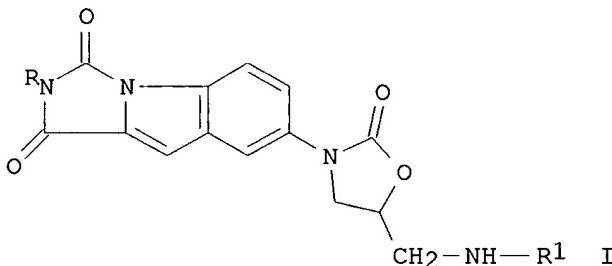
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1999:487536 CAPLUS
DN 131:129985
TI Oxazolidines substituted by tricyclic indoles
IN Ruppelt, Martin; Bartel, Stephan; Guarnieri, Walter; Raddatz, Siegfried;
Rosentreter, Ulrich; Wild, Hanno; Endermann, Rainer; Kroll, Hein-Peter
PA Bayer A.-G., Germany
SO Ger. Offen., 40 pp.
CODEN: GWXXBX

X
DT Patent
LA German

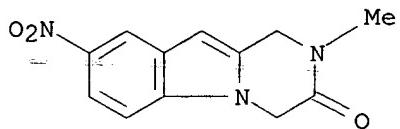
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19802235 WO 9937652	A1 A1	19990729 19990729	DE 1998-19802235 WO 1999-EP97	19980122 19990109
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924206 EP 1049701	A1 A1	19990809 20001108	AU 1999-24206 EP 1999-903616	19990109 19990109
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002501073	T2	20020115	JP 2000-528573	19990109
PRAI	DE 1998-19802235 WO 1999-EP97	A W	19980122 19990109		
OS	MARPAT	131:129985			
GI					



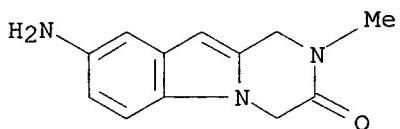
AB Approx. 25 antibacterial title compds. such as I (R = benzyl, p-methoxybenzyl, allyl, Bu, cyclohexyl, Et, Me; R1 = Ac, EtCO, CO₂Me) were prep'd. E.g., N-[3-(2-(ethoxycarbonyl)-5-indolylamino)-2-hydroxypropyl]acetamide was cyclized with carbonyldiimidazole to give 85% 3-(2-ethoxycarbonyl-5-indolyl)-5-(acetaminomethyl)-2-oxazolidinone. The MIC of I (R = Bu, R1 = Ac) was 4 .mu.g/mL against *Staphylococcus Aureus*.
IT 234770-48-0P 234770-49-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bactericidal activity of oxazolidines substituted by tricyclic indoles)
RN 234770-48-0 CAPLUS

CN Pyrazino[1,2-a]indol-3(4H)-one, 1,2-dihydro-2-methyl-8-nitro- (9CI) (CA INDEX NAME)



RN 234770-49-1 CAPLUS

CN Pyrazino[1,2-a]indol-3(4H)-one, 8-amino-1,2-dihydro-2-methyl- (9CI) (CA INDEX NAME)



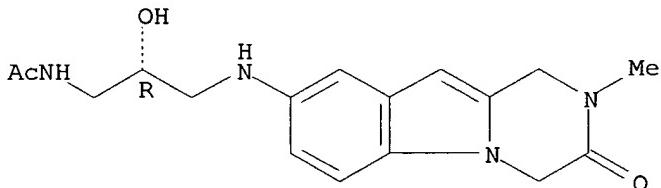
IT **234770-50-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and bactericidal activity of oxazolidines substituted by tricyclic indoles)

RN 234770-50-4 CAPLUS

CN Acetamide, N-[(2R)-2-hydroxy-3-[(1,2,3,4-tetrahydro-2-methyl-3-oxopyrazino[1,2-a]indol-8-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

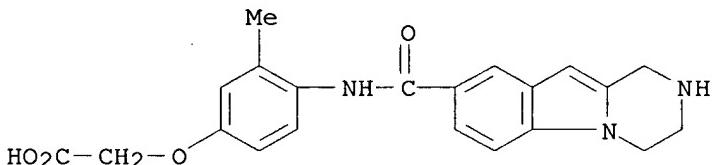


L22 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:21683 CAPLUS
 DN 130:81526
 TI Preparation of 4-[(4-piperazinobeznoyl)amino]phenyl(oxy)alkanoates as fibrinogen receptor antagonists
 IN Duggan, Mark E.; Egbertson, Melissa S.; Hartman, George D.; Young, Steven D.; Ihle, Nathan C.
 PA Merck and Co., Inc., USA
 SO U.S., 78 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5854245	A	19981229	US 1997-883108	19970626
OS MARPAT 130:81526				
AB XYZAB [I; A = (un)substituted (hetero)arylene; B = O(CH ₂) _m CO ₂ R ₉ , (CH ₂) _n CO ₂ R ₉ , CHR ₈ (CH ₂) _p CO ₂ R ₉ , OCHR ₈ (CH ₂) _p CO ₂ R ₉ ; R ₈ = H, OH, alkyl, alkoxy, aryl, etc.; R ₉ = H, (ar)alkyl, aryl, acylalkyl, etc.; X = (un)substituted heterocyclyl or -heteroaryl; Y = (un)substituted heterocyclylene or -(hetero)arylene; Z = bond, NH, CONH, CO, CH ₂ CH ₂ , etc.; m = 1-3; n,p = 0-3] were prepd. Thus, 4-(H ₂ N)C ₆ H ₄ CO ₂ Me was cyclocondensed with HN(CH ₂ CH ₂ Cl) ₂ and the N-protected and sapond. product amidated by 4-BrC ₆ H ₄ NH ₂ to give the bromobenzanilide which was condensed with CH ₂ :CHCO ₂ Me and the product converted in 3 addnl. steps to 4-RC ₆ H ₄ CONHC ₆ H ₄ (CH ₂ CH ₂ CO ₂ H)-4 (R = piperazino). Data for biol. activity of I were given.				

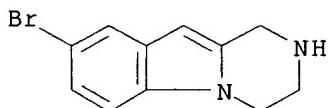
IT 201808-19-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-[(4-piperazinobeznoyl)amino]phenyl(oxy)alkanoates as fibrinogen receptor antagonists)

RN 201808-19-7 CAPLUS
 CN Acetic acid, [3-methyl-4-[(1,2,3,4-tetrahydropyrazino[1,2-a]indol-8-yl)carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



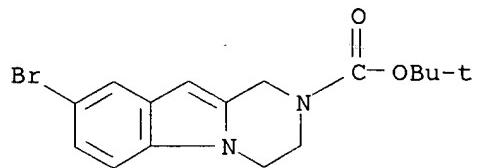
IT 201809-32-7P 201809-34-9P 201809-36-1P
 201809-38-3P 201809-40-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of 4-[(4-piperazinobeznoyl)amino]phenyl(oxy)alkanoates as fibrinogen receptor antagonists)

RN 201809-32-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

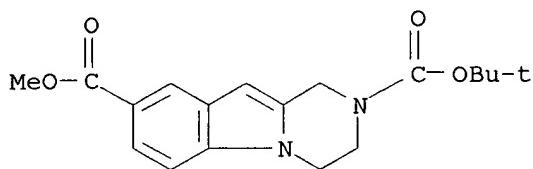


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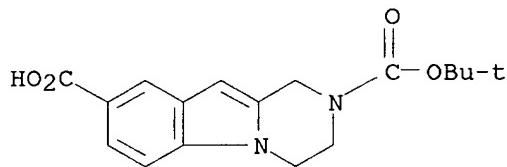
RN 201809-34-9 CAPLUS
CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-bromo-3,4-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



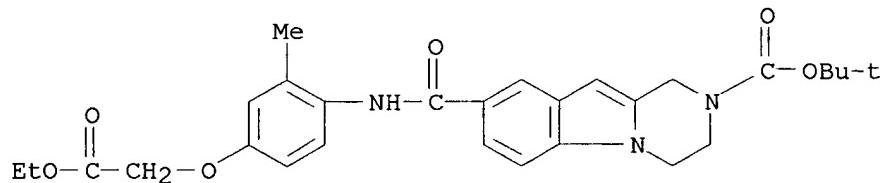
RN 201809-36-1 CAPLUS
CN Pyrazino[1,2-a]indole-2,8(1H)-dicarboxylic acid, 3,4-dihydro-,
2-(1,1-dimethylethyl) 8-methyl ester (9CI) (CA INDEX NAME)



RN 201809-38-3 CAPLUS
CN Pyrazino[1,2-a]indole-2,8(1H)-dicarboxylic acid, 3,4-dihydro-,
2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 201809-40-7 CAPLUS
CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-[[[4-(2-ethoxy-2-oxoethoxy)-
2-methylphenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1998:55617 CAPLUS
 DN 128:128034
 TI Preparation of heterocyclyl-containing O-substituted alcoholamines as fibrinogen receptor antagonist prodrugs
 IN Young, Steven D.; Hartman, George D.; Libby, Laura A.; Egbertson, Melissa S.; Slaughter, Donald E.
 PA Hartman, George D., USA; Libby, Laura A.; Egbertson, Melissa S.; Slaughter, Donald E.; Merck + Co., Inc.; Young, Steven D.
 SO PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

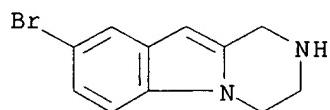
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800401	A1	19980108	WO 1997-US11047	19970625
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2257950	AA	19980108	CA 1997-2257950	19970625
	AU 9735037	A1	19980121	AU 1997-35037	19970625
	AU 719102	B2	20000504		
	EP 912513	A1	19990506	EP 1997-931401	19970625
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000513375	T2	20001010	JP 1998-504266	19970625	
US 5932582	A	19990803	US 1997-883107	19970626	
PRAI US 1996-20877P	P	19960628			
GB 1996-17899	A	19960828			
WO 1997-US11047	W	19970625			
OS MARPAT	128:128034				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. X-W-Y-Z-(A)r-B [I; W = (CH₂)_q (wherein q = 0 or 2); X = (un)substituted 5-7 membered (non)arom. ring having 1-3 heteroatoms selected from N, O, and S, 9-10 membered fused (non)arom. ring having 1-3 heteroatoms selected from N, O, and S; Y = (un)substituted 5-6 membered (non)arom. ring having 0-3 heteroatoms selected from N, O, and S, .delta.-lactam, II; XY = III, IV, V (q = 0); Z = (CH₂)₂, CH:CH, CH₂O, etc.; A = (un)substituted 5-6 membered arom. ring having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms selected from N, O, and S; r = 0-1; B = O(CH₂)pCH₂NR₈R₇, CH₂(CH₂)tCH₂NR₈R₇, CH(R₉)(CH₂)tCH₂NR₈R₇, CH₂CH(OPh)CH₂NR₈R₇ (wherein R₇-R₉ = H, halo, C₁-10 alkyl, etc.; p = 1-4; t = 0-4)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating or preventing thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and inhibiting tumor growth, were prepd. and formulated. Thus, reaction of 4-[4-(1,1-dimethylethoxycarbonyl)piperazin-1-yl]benzoic acid with 1-(1,1-dimethylethoxycarbonylamino)-2-(4-amino-3-methylphenoxy)ethane in the presence of chloro-N,N,N',N'-bis(pentamethylene)formamidinium hexafluorophosphate and (iPr)₂NET in CH₂Cl₂ followed by deprotection of the intermediate afforded the title compd. VI.2HCl. Compds. I are prodrugs of active acids X-W-Y-Z-(A)r-B [B = O(CH₂)pCO₂H, CH₂(CH₂)tCO₂H,

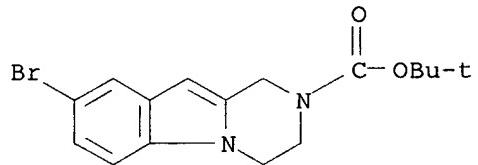
$\text{CH}(\text{R9})(\text{CH}_2)\text{tCO}_2\text{H}$, $\text{CH}_2\text{CH}(\text{OPh})\text{CO}_2\text{H}$] which have been evaluated in vitro and found to have an IC₅₀ for inhibiting platelet aggregation of between 8 nM and 10 μM . Compds. I are effective at 0.9 mg/day - 1.8 g/day when administered orally to a typical 90 kg patient.

IT 201809-32-7P 201809-34-9P 201809-36-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocycl-l-contg. O-substituted alcoholamines as
fibrinogen receptor antagonist prodrugs)
RN 201809-32-7 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

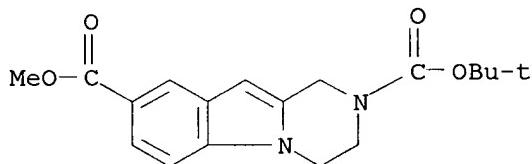


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RN 201809-34-9 CAPLUS
CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-bromo-3,4-dihydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 201809-36-1 CAPLUS
CN Pyrazino[1,2-a]indole-2,8(1H)-dicarboxylic acid, 3,4-dihydro-,
2-(1,1-dimethylethyl) 8-methyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1998:55525 CAPLUS
 DN 128:128032
 TI Preparation of heterocyclyl-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists
 IN Duggan, Mark E.; Egbertson, Melissa S.; Hartman, George D.; Young, Steven D.; Ihle, Nathan C.
 PA Merck + Co., Inc., USA; Duggan, Mark E.; Egbertson, Melissa S.; Hartman, George D.; Young, Steven D.; Ihle, Nathan C.
 SO PCT Int. Appl., 270 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800134	A1	19980108	WO 1997-US11133	19970625
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2258093	AA	19980108	CA 1997-2258093	19970625
	AU 9735798	A1	19980121	AU 1997-35798	19970625
	AU 721130	B2	20000622		
	EP 912175	A1	19990506	EP 1997-932307	19970625
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000514061	T2	20001024	JP 1998-504291	19970625
PRAI	US 1996-20975P	P	19960628		
	GB 1997-893	A	19970117		
	WO 1997-US11133	W	19970625		
OS	MARPAT	128:128032			
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

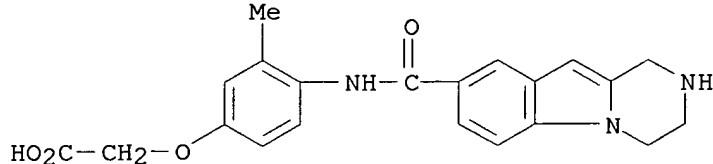
AB The title compds. X-Y-Z-A-B [I; X = (un)substituted 5-7- membered arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S, (un)substituted 9-10 membered fused arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S; Y = (un)substituted 5-6 membered arom. or nonarom. ring, having 0-3 heteroatoms selected from N, O, and S; XY = II, III, IV, V; Z = C(O)NR₄, N(R₄)C(O), CH₂CH₂, CH:CH, etc.; R₄ = H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl; A = (un)substituted 5-6 membered arom. ring, having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms (N, O, and S); B = C(CH₂)_mCO₂R₉, (CH₂)_nCO₂R₉, CH(R₈)(CH₂)_pCO₂R₉, OCH(R₈)(CH₂)_pCO₂R₉ (wherein m = 1-3; n = 0-3; p = 0-3; R₈ = H, aryl, amino, etc.; R₉ = H, aryl, C₁₋₈ alkyl, etc.)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and in inhibiting tumor growth, were prep'd. and formulated. Thus, a few-step detailed synthesis of the acid VI which showed IC₅₀ in the range between 10 nM and 50 mM against ADP-stimulated platelet aggregation, was described.

IT 201808-19-7P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclyl-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists)

RN 201808-19-7 CAPLUS

CN Acetic acid, [3-methyl-4-[(1,2,3,4-tetrahydropyrazino[1,2-a]indol-8-yl)carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



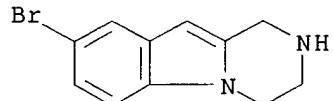
IT 201809-32-7P 201809-34-9P 201809-36-1P

201809-38-3P 201809-40-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclyl-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists)

RN 201809-32-7 CAPLUS

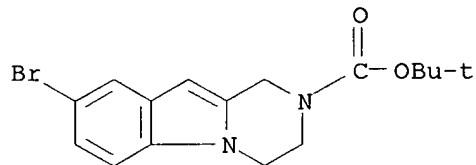
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



CUM

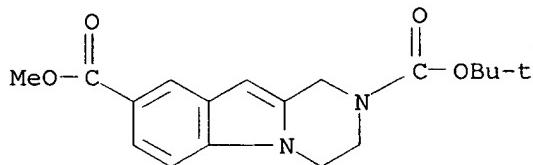
RN 201809-34-9 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-bromo-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



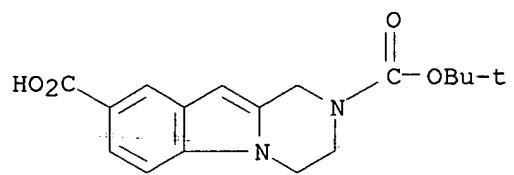
RN 201809-36-1 CAPLUS

CN Pyrazino[1,2-a]indole-2,8(1H)-dicarboxylic acid, 3,4-dihydro-, 2-(1,1-dimethylethyl) 8-methyl ester (9CI) (CA INDEX NAME)



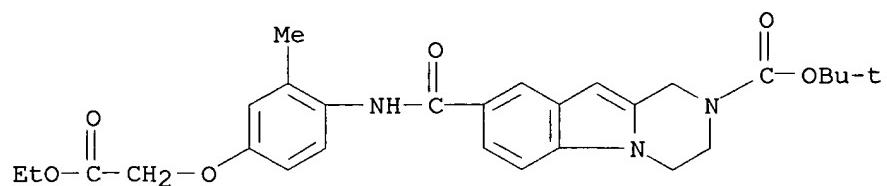
RN 201809-38-3 CAPLUS

CN Pyrazino[1,2-a]indole-2,8(1H)-dicarboxylic acid, 3,4-dihydro-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

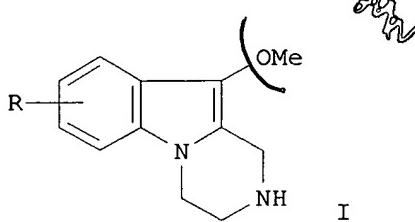


RN 201809-40-7 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-carboxylic acid, 8-[[[4-(2-ethoxy-2-oxoethoxy)-2-methylphenyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



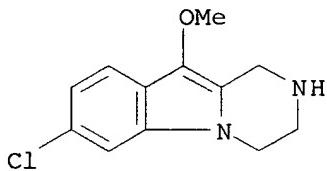
L22 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1997:275794 CAPLUS
 DN 127:17640
 TI Synthesis, pharmacology and therapeutic potential of 10-methoxypyrazino[1,2-a]indoles, partial agonists at the 5HT2C receptor
 AU Bos, M.; Jenck, F.; Martin, J. R.; Moreau, J. L.; Mutel, V.; Sleight, A.
 J.; Widmer, U.
 CS Pharma Division, Preclinical CNS Researc, F Hoffmann-La Roche Ltd., Basel,
 CH-4070, Switz.
 SO Eur. J. Med. Chem. (1997), 32(3), 253-261
 CODEN: EJMCA5; ISSN: 0223-5234
 PB Elsevier
 DT Journal
 LA English
 GI



AB A series of new 10-methoxypyrazino[1,2-a]indoles I ($R = H, 6-Br, 7-Cl, 9-F$, etc.) has been prepd. and shown to be 5HT2C receptor ligands. The studied compds. were found to act as partial agonists at the 5HT2C receptor, binding with high affinity and moderate selectivity vs. 5HT1A and 5HT2A receptors, but inducing only a submaximal increase in phosphoinositol formation. I ($R = 9-Me$) was demonstrated to be active in animal models of obsessive-compulsive disorder, depression and panic anxiety.

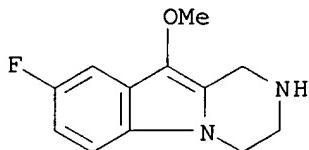
IT 190433-41-1P 190433-42-2P 190433-43-3P
 190433-44-4P 190433-45-5P 190433-47-7P
 190433-48-8P 190433-49-9P 190433-50-2P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and serotonin receptor agonistic activity of pyrazinoindoless)

RN 190433-41-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

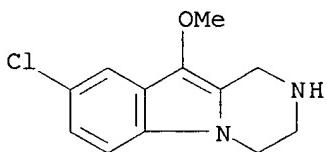
RN 190433-42-2 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-fluoro-1,2,3,4-tetrahydro-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 190433-43-3 CAPLUS

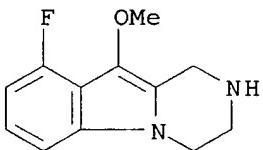
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 190433-44-4 CAPLUS

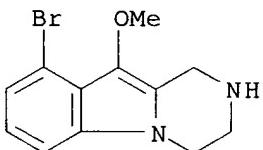
CN Pyrazino[1,2-a]indole, 9-fluoro-1,2,3,4-tetrahydro-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 190433-45-5 CAPLUS

CN Pyrazino[1,2-a]indole, 9-bromo-1,2,3,4-tetrahydro-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

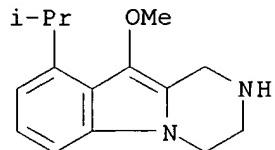


HCl

RN 190433-47-7 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-(1-methylethyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

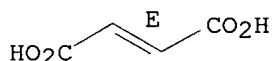
CRN 190433-46-6
CMF C15 H20 N2 O



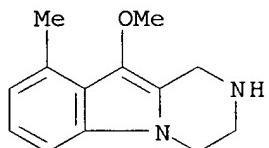
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

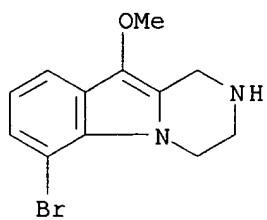


RN 190433-48-8 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-methyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

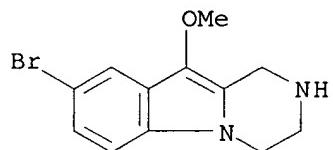
RN 190433-49-9 CAPLUS
CN Pyrazino[1,2-a]indole, 6-bromo-1,2,3,4-tetrahydro-10-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 190433-50-2 CAPLUS

CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L22 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2002 ACS

AN 1994:191736 CAPLUS

DN 120:191736

TI CNS-Active pyrazinoindoles and their preparation, compositions, and use

IN Boes, Michael

PA F. Hoffmann-La Roche AG, Switz.

SO Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

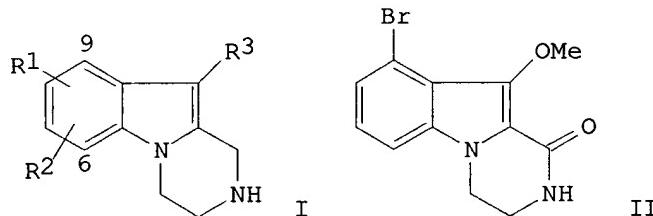
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 572863	A1	19931208	EP 1993-108129	19930519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	ZA 9303796	A	19931206	ZA 1993-3796	19930528
	AU 9339916	A1	19931209	AU 1993-39916	19930531
	AU 662977	B2	19950921		
	CA 2097465	AA	19931206	CA 1993-2097465	19930601
	CN 1080925	A	19940119	CN 1993-106906	19930604
	JP 06041132	A2	19940215	JP 1993-135891	19930607
PRAI	CH 1992-1819		19920605		
	CH 1993-1307		19930429		
OS	MARPAT	120:191736			
GI					

✓
Priority
Excludes
1st add.
Corresp.)

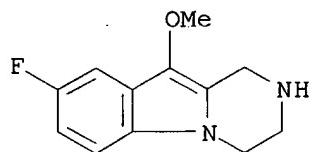


AB Title compds. I [R1 = H, halo, CF3, alkyl, OH, alkoxy; R2 = H, halo; R3 = H, alkoxy, alkylthio; R3 = H only when R1 and R2 both .noteq. H] and their pharmaceutically acceptable salts are claimed, as are their use and compns. for treating a variety of specific disorders. Fifteen syntheses of I salts, and 2 formulations are given, plus test data for binding to 4 serotoninergic (5-HT) receptor subtypes, and 2 addnl. in-vivo tests. Thus, Et 6-bromoanthranilate underwent N-alkylation by BrCH2CO2Et (96.8%) and cyclization by NaOEt in EtOH/Et2O (90%) to give Et 4-bromo-3-hydroxyindole-2-carboxylate. This underwent O-methylation by CH2N2 (79%) and a combination of N-alkylation with BrCH2CH2Br and cyclization with NH3 (92%) to give pyrazinoindolone deriv. II. Redn. of II with LiAlH4 in THF gave 36% I (R1 = H, R2 = 9-Br, R3 = OMe) (III), isolated as the HCl salt. In tests for binding to 5-HT1B and 5-HT1C receptors, III had IC50 values of 56.10 and 83.8 nM (cf. 19.70 for CP 93129, and 37.0 for ritanserin, resp.).

IT 153500-80-2P 153500-81-3P 153500-82-4P
153500-83-5P 153500-84-6P 153500-85-7P
153500-86-8P 153500-87-9P 153500-88-0P
153500-90-4P 153500-91-5P 153500-92-6P
153500-93-7P 153500-95-9P 153500-96-0P
153500-97-1P 153500-98-2P 153500-99-3P
153501-00-9P 153501-01-0P 153501-02-1P
153501-03-2P 153501-05-4P 153501-06-5P
153501-07-6P

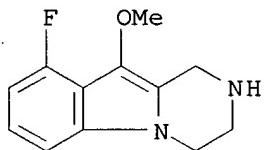
RL: SPN (Synthetic preparation); PREP (Preparation)

(prep. of, as CNS agent)
RN 153500-80-2 CAPLUS
CN Pyrazino[1,2-a]indole, 8-fluoro-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



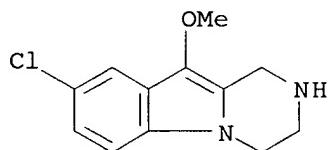
● x HCl

RN 153500-81-3 CAPLUS
CN Pyrazino[1,2-a]indole, 9-fluoro-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



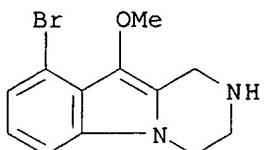
● x HCl

RN 153500-82-4 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



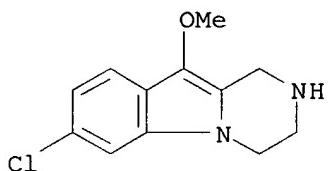
● x HCl

RN 153500-83-5 CAPLUS
CN Pyrazino[1,2-a]indole, 9-bromo-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



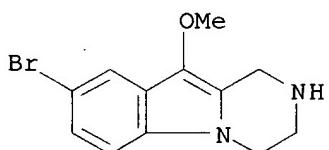
● x HCl

RN 153500-84-6 CAPLUS
CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



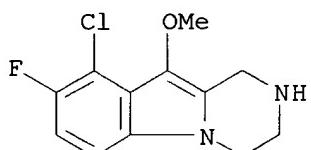
● x HCl

RN 153500-85-7 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



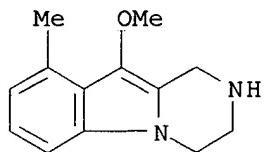
● x HCl

RN 153500-86-8 CAPLUS
CN Pyrazino[1,2-a]indole, 9-chloro-8-fluoro-1,2,3,4-tetrahydro-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



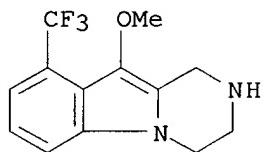
x HCl

RN 153500-87-9 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-methyl-,
hydrochloride (9CI) (CA INDEX NAME)



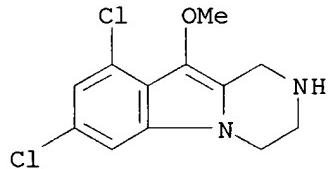
● x HCl

RN 153500-88-0 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-(trifluoromethyl)-,
hydrochloride (9CI) (CA INDEX NAME)



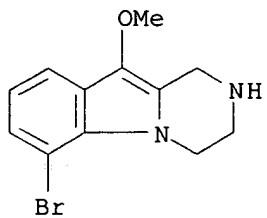
● x HCl

RN 153500-90-4 CAPLUS
CN Pyrazino[1,2-a]indole, 7,9-dichloro-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



● x HCl

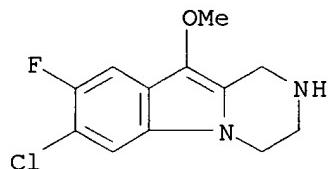
RN 153500-91-5 CAPLUS
CN Pyrazino[1,2-a]indole, 6-bromo-1,2,3,4-tetrahydro-10-methoxy-,
hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 153500-92-6 CAPLUS

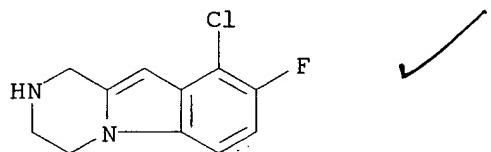
CN Pyrazino[1,2-a]indole, 7-chloro-8-fluoro-1,2,3,4-tetrahydro-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 153500-93-7 CAPLUS

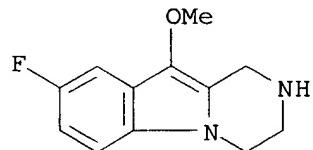
CN Pyrazino[1,2-a]indole, 9-chloro-8-fluoro-1,2,3,4-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 153500-95-9 CAPLUS

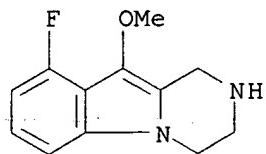
CN Pyrazino[1,2-a]indole, 8-fluoro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



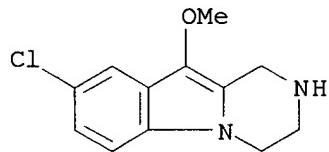
RN 153500-96-0 CAPLUS

CN Pyrazino[1,2-a]indole, 9-fluoro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)

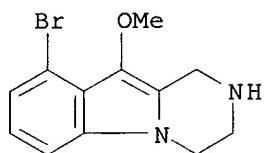
INDEX NAME)



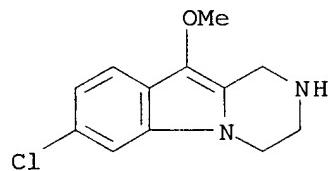
RN 153500-97-1 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



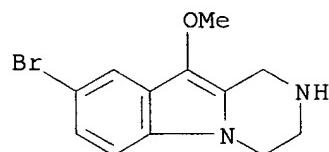
RN 153500-98-2 CAPLUS
CN Pyrazino[1,2-a]indole, 9-bromo-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



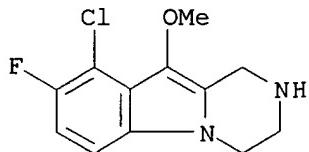
RN 153500-99-3 CAPLUS
CN Pyrazino[1,2-a]indole, 7-chloro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



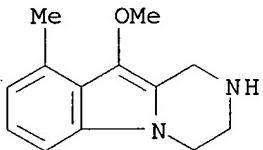
RN 153501-00-9 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



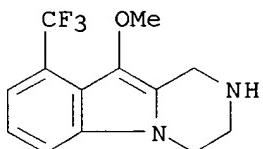
RN 153501-01-0 CAPLUS
CN Pyrazino[1,2-a]indole, 9-chloro-8-fluoro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



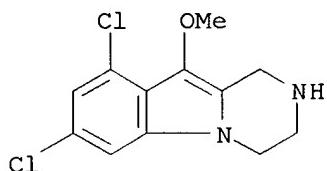
RN 153501-02-1 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-methyl- (9CI) (CA INDEX NAME)



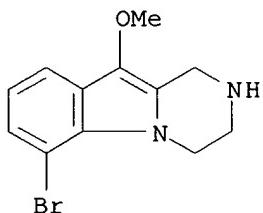
RN 153501-03-2 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-10-methoxy-9-(trifluoromethyl)- (9CI) (CA INDEX NAME)



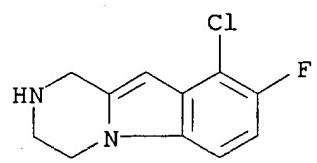
RN 153501-05-4 CAPLUS
CN Pyrazino[1,2-a]indole, 7,9-dichloro-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



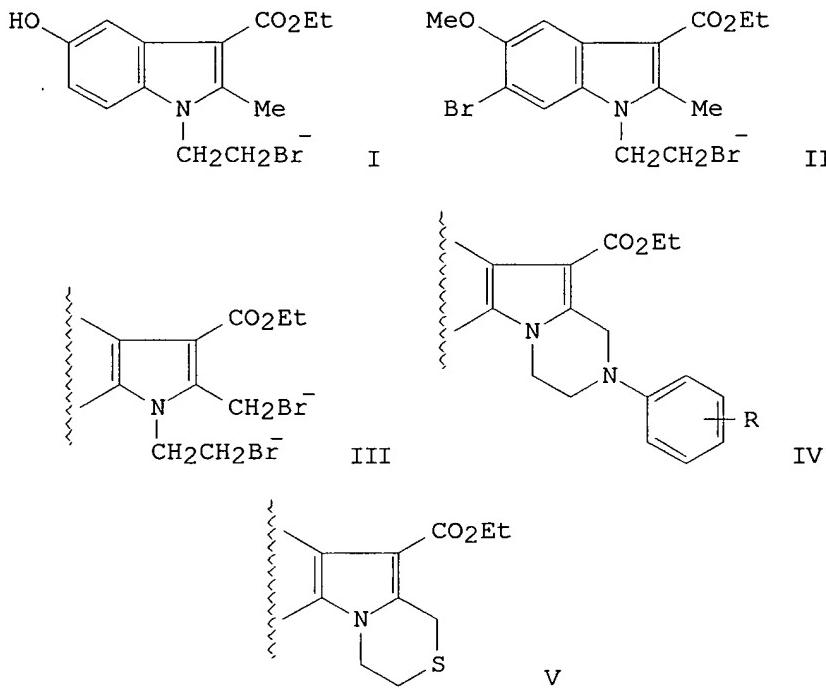
RN 153501-06-5 CAPLUS
CN Pyrazino[1,2-a]indole, 6-bromo-1,2,3,4-tetrahydro-10-methoxy- (9CI) (CA INDEX NAME)



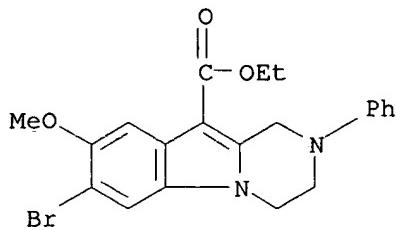
RN 153501-07-6 CAPLUS
CN Pyrazino[1,2-a]indole, 9-chloro-8-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



L22 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1993:517210 CAPLUS
 DN 119:117210
 TI Synthesis and pharmacological study of 1,2,3,4-tetrahydropyrazino[1,2-a]indole derivatives
 AU Tsyshkova, N. G.; Trofimov, F. A.; Marinchenko, V. P.; Dubovik, B. V.;
 Lushnikova, G. A.; Shvedov, V. I.
 CS NII Med. Radicol., Obninsk, Russia
 SO Khim.-Farm. Zh. (1992), 26(9-10), 70-2
 CODEN: KHFZAN; ISSN: 0023-1134
 DT Journal
 LA Russian
 OS CASREACT 119:117210
 GI

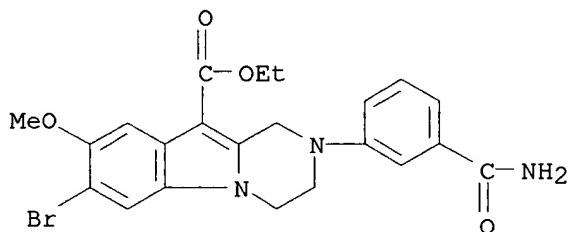


AB Nenitzescu cyclization of p-benzoquinone with (E)-(BrCH₂CH₂NH)CMe:CHCO₂Et afforded hydroxyindole deriv. I, which was methylated (Me₂SO₄) and brominated with 1 mol NBS in CCl₄ to afford bromomethoxyindole deriv. II; side chain bromination of II with 1 mol NBS in presence of a radical initiator afforded 86% bromomethyl deriv. III. Cyclization reaction of III with arylamines afforded the title compds. IV (R = m-Cl, H, p-Me, p-OMe, m-CONH₂, p-F); cyclization of III with Na₂S afforded thiazinoindole V. IV were inactive as antidepressants.
 IT 149246-50-4P 149246-53-7P 149246-54-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 149246-50-4 CAPLUS
 CN Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-1,2,3,4-tetrahydro-8-methoxy-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



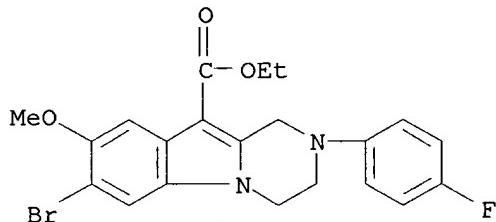
RN 149246-53-7 CAPLUS

CN Pyrazino[1,2-a]indole-10-carboxylic acid, 2-[3-(aminocarbonyl)phenyl]-7-bromo-1,2,3,4-tetrahydro-8-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 149246-54-8 CAPLUS

CN Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-2-(4-fluorophenyl)-1,2,3,4-tetrahydro-8-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



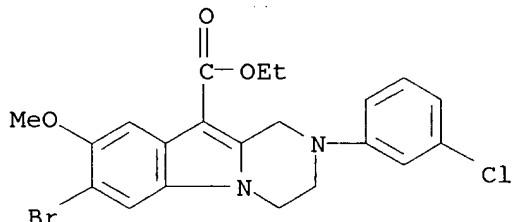
IT 149246-49-1P 149246-51-5P 149246-52-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as antidepressant, inactive)

RN 149246-49-1 CAPLUS

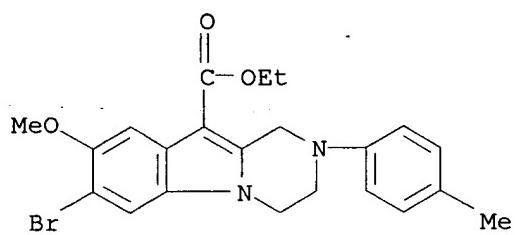
CN Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-2-(3-chlorophenyl)-1,2,3,4-tetrahydro-8-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 149246-51-5 CAPLUS

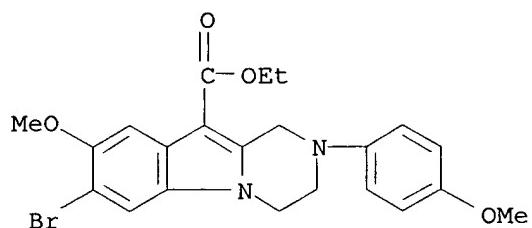
CN Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-1,2,3,4-tetrahydro-8-

methoxy-2-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

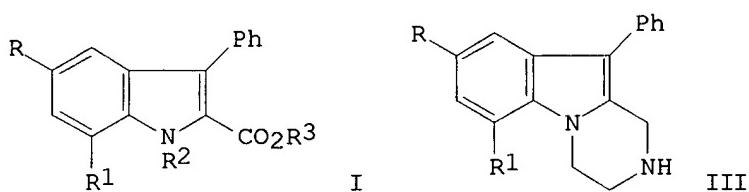


RN 149246-52-6 CAPLUS

CN Pyrazino[1,2-a]indole-10-carboxylic acid, 7-bromo-1,2,3,4-tetrahydro-8-methoxy-2-(4-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



L22 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1992:59321 CAPLUS
DN 116:59321
TI Synthesis of 10-phenyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles and ethyl 1-(2-aminoethyl)-3-phenylindole-2-carboxylates
AU Basanagoudar, L. D.; Mahajanshetti, C. S.; Hendi, S. B.; Dambal, S. B.
CS Dep. Chem., Karnatak Univ., Dharwad, 580 003, India
SO Indian J. Chem., Sect. B (1991), 30B(11), 1014-17
CODEN: IJSBDB; ISSN: 0376-4699
DT Journal
LA English
GI



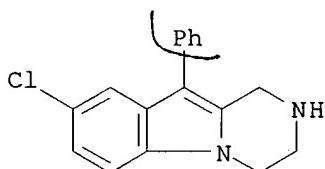
AB Cyanomethylation of 3-phenylindole-2-carboxylates I ($R = H, Me, OMe, OEt, Br, Cl$, $R1 = H; R = H, R1 = Cl, Me; R = R1 = Me; R2 = H, R3 = Et$) with $ClCH_2CN$ in the presence of NaH in DMF gave the corresponding 2-cyanoethyl derivs. I ($R2 = CH_2CN$) (II). Reductive cyclization of II with $LiAlH_4$ gave directly 10-phenyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles III. Catalytic hydrogenation of II gave 2-aminoethyl derivs. I ($R2 = CH_2CH_2NH_2$) (IV), while hydrolysis gave 2-carboxy-3-phenylindolecarboxylates I ($R2 = CH_2CO_2H, R3 = H$). III and IV were screened for antiserotonin and antihistamine activities. Some compds. exhibit pronounced activities.

IT 39626-24-9P 138653-65-3P 138653-66-4P
138653-67-5P 138653-68-6P 138653-69-7P
138653-70-0P 138653-71-1P 138654-03-2P
138654-04-3P 138654-05-4P 138654-06-5P
138654-07-6P 138654-08-7P 138654-09-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepns. of)

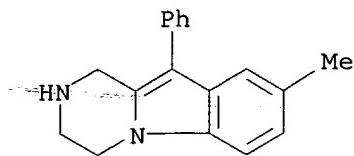
RN 39626-24-9 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)

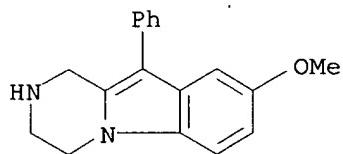


RN 138653-65-3 CAPLUS

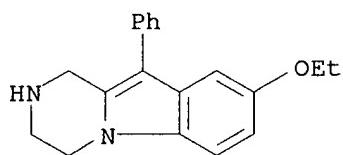
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl-10-phenyl- (9CI) (CA INDEX NAME)



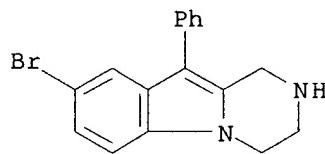
RN 138653-66-4 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-phenyl- (9CI) (CA INDEX NAME)



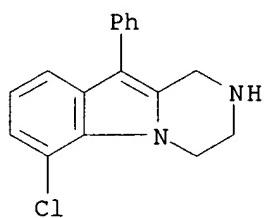
RN 138653-67-5 CAPLUS
CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



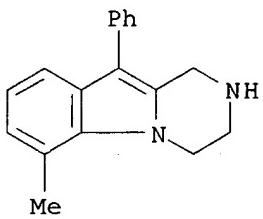
RN 138653-68-6 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



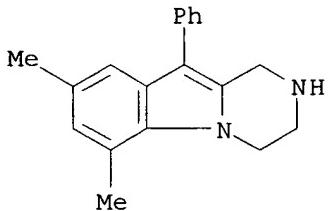
RN 138653-69-7 CAPLUS
CN Pyrazino[1,2-a]indole, 6-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



RN 138653-70-0 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6-methyl-10-phenyl- (9CI) (CA INDEX NAME)



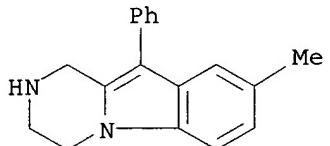
RN 138653-71-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6,8-dimethyl-10-phenyl- (9CI)
 (CA INDEX NAME)



RN 138654-03-2 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

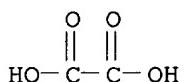
CM 1

CRN 138653-65-3
 CMF C18 H18 N2



CM 2

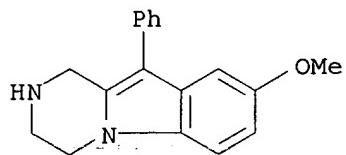
CRN 144-62-7
 CMF C2 H2 O4



RN 138654-04-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-phenyl-,
 ethanedioate (1:1) (9CI) (CA INDEX NAME)

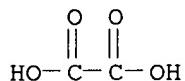
CM 1

CRN 138653-66-4
 CMF C18 H18 N2 O



CM 2

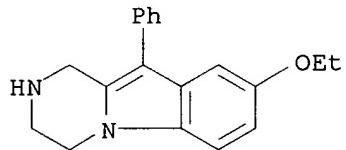
CRN 144-62-7
CMF C2 H2 O4



RN 138654-05-4 CAPLUS
CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

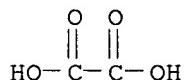
CM 1

CRN 138653-67-5
CMF C19 H20 N2 O



CM 2

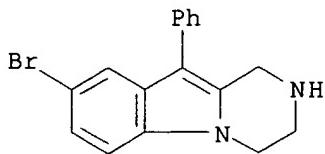
CRN 144-62-7
CMF C2 H2 O4



RN 138654-06-5 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

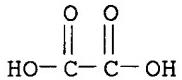
CM 1

CRN 138653-68-6
CMF C17 H15 Br N2



CM 2

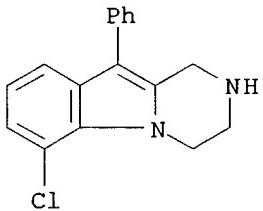
CRN 144-62-7
CMF C2 H2 O4



RN 138654-07-6 CAPLUS
CN Pyrazino[1,2-a]indole, 6-chloro-1,2,3,4-tetrahydro-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

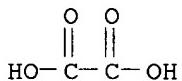
CM 1

CRN 138653-69-7
CMF C17 H15 Cl N2



CM 2

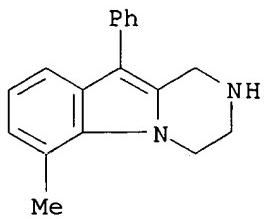
CRN 144-62-7
CMF C2 H2 O4



RN 138654-08-7 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6-methyl-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

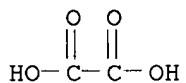
CM 1

CRN 138653-70-0
CMF C18 H18 N2



CM 2

CRN 144-62-7
CMF C2 H2 O4

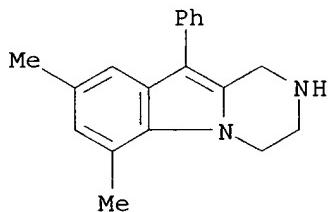


RN 138654-09-8 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-6,8-dimethyl-10-phenyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

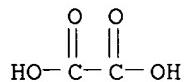
CM 1

CRN 138653-71-1
CMF C19 H20 N2



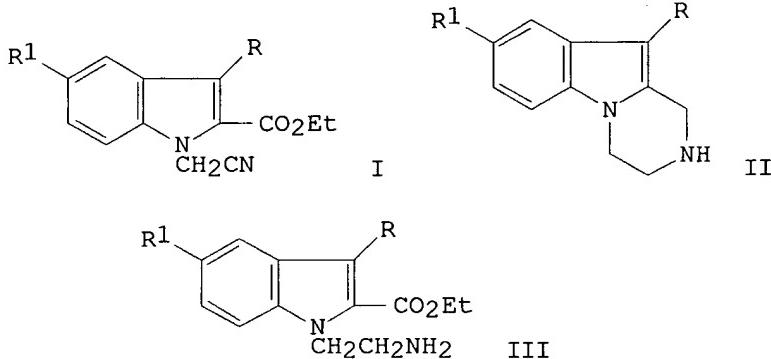
CM 2

CRN 144-62-7
CMF C2 H2 O4

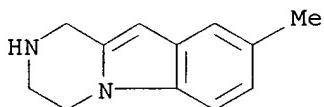


L22 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1990:406277 CAPLUS
 DN 113:6277
 TI Synthesis of 1,2,3,4-tetrahydropyrazino[1,2-a]indoles and ethyl
 1-(2-aminoethyl)indole-2-carboxylates
 AU Rajur, Sharanabasava B.; Merwade, A. Y.; Hendi, S. B.; Basanagoudar, L. D.
 CS Dep. Chem., Karnatak Univ., Dharwad, 580 003, India
 SO Indian J. Chem., Sect. B (1989), 28B(12), 1065-8
 CODEN: IJSBDB; ISSN: 0376-4699
 DT Journal
 LA English
 OS CASREACT 113:6277
 GI

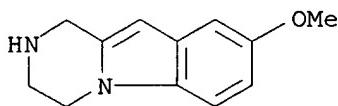
Cited
S.M.
oo



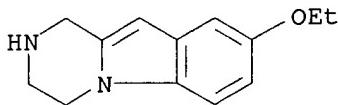
AB Several Et 1-(cyanomethyl)indole-2-carboxylates (I) on reductive cyclization with LiAlH₄ afford the corresponding 1,2,3,4-tetrahydropyrazino[1,2-a]indoles (II; R = H, Me; R¹ = H, Me, MeO, Eto, Br, Cl). Catalytic hydrogenation of I results in 1-(2-aminoethyl)indole-2-carboxylates (III). Hydrolysis of I gives the corresponding diacids. Certain examples of II and III exhibit remarkable antiserotonin and antihistamine activities.
 IT 126718-16-9P 126718-18-1P 126718-20-5P
 126718-22-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antiserotonin and antihistamine activity of)
 RN 126718-16-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



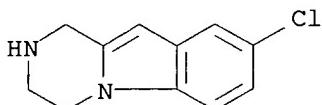
RN 126718-18-1 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy- (9CI) (CA INDEX NAME)



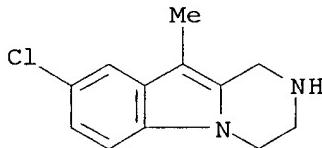
RN 126718-20-5 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 126718-22-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



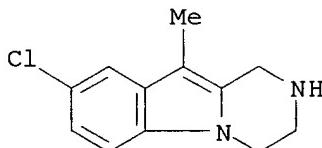
IT 126718-02-3P 126718-03-4P 126718-17-0P
 126718-19-2P 126718-21-6P 126718-23-8P
 126718-26-1P 126718-27-2P 126718-28-3P
 126718-29-4P 126718-30-7P 126718-31-8P
 126718-32-9P 127076-11-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 126718-02-3 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-methyl- (9CI) (CA INDEX NAME)



RN 126718-03-4 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

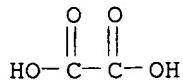
CM 1

CRN 126718-02-3
 CMF C12 H13 Cl N2



CM 2

CRN 144-62-7
CMF C2 H2 O4

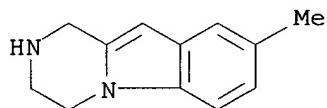


RN 126718-17-0 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methyl-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

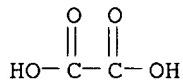
CM 1

CRN 126718-16-9
CMF C12 H14 N2



CM 2

CRN 144-62-7
CMF C2 H2 O4

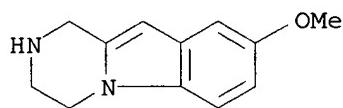


RN 126718-19-2 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

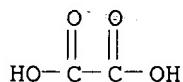
CM 1

CRN 126718-18-1
CMF C12 H14 N2 O



CM 2

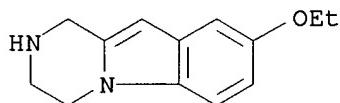
CRN 144-62-7
CMF C2 H2 O4



RN 126718-21-6 CAPLUS
CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

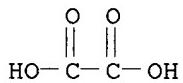
CM 1

CRN 126718-20-5
CMF C13 H16 N2 O



CM 2

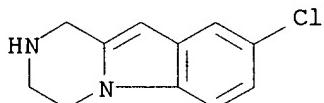
CRN 144-62-7
CMF C2 H2 O4



RN 126718-23-8 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

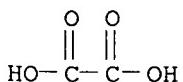
CM 1

CRN 126718-22-7
CMF C11 H11 Cl N2



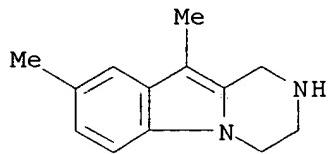
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 126718-26-1 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl- (9CI) (CA INDEX

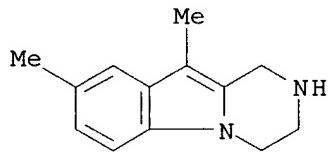
NAME)



RN 126718-27-2 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

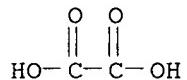
CM 1

CRN 126718-26-1
CMF C13 H16 N2

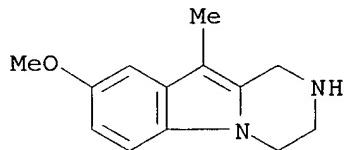


CM 2

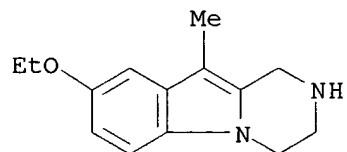
CRN 144-62-7
CMF C2 H2 O4



RN 126718-28-3 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl- (9CI) (CA INDEX NAME)



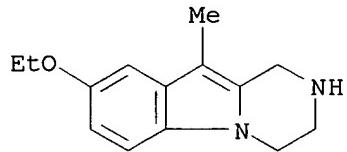
RN 126718-29-4 CAPLUS
CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-methyl- (9CI) (CA INDEX NAME)



RN 126718-30-7 CAPLUS
CN Pyrazino[1,2-a]indole, 8-ethoxy-1,2,3,4-tetrahydro-10-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

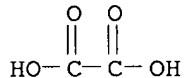
CM 1

CRN 126718-29-4
CMF C14 H18 N2 O

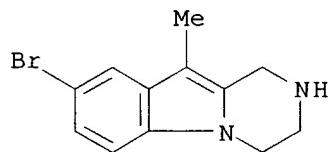


CM 2

CRN 144-62-7
CMF C2 H2 O4



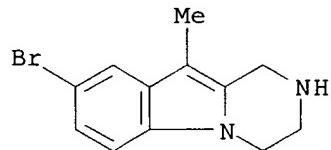
RN 126718-31-8 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-methyl- (9CI) (CA INDEX NAME)



RN 126718-32-9 CAPLUS
CN Pyrazino[1,2-a]indole, 8-bromo-1,2,3,4-tetrahydro-10-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

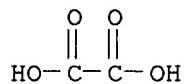
CM 1

CRN 126718-31-8
CMF C12 H13 Br N2



CM 2

CRN 144-62-7
CMF C2 H2 O4



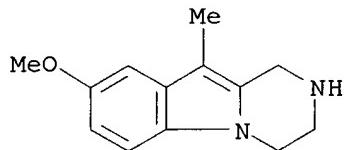
RN 127076-11-3 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl-,
ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 126718-28-3

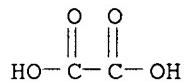
CMF C13 H16 N2 O



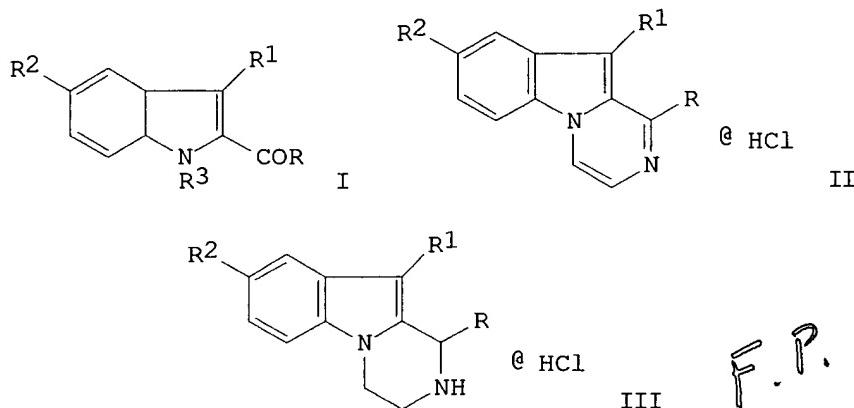
CM 2

CRN 144-62-7

CMF C2 H2 O4



L22 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1984:423433 CAPLUS
 DN 101:23433
 TI Synthesis and psychotropic activity of tricyclic analogs of pyrazidole
 AU Grinev, A. N.; Shvedov, V. I.; Krichevskii, E. S.; Romanova, O. B.;
 Altukhova, L. B.; Kurilo, G. N.; Andreeva, N. I.; Golovina, S. M.;
 Mashkovskii, M. D.
 CS Vses. Nauchno-Issled. Khim.-Farm. Inst., USSR
 SO Khim.-Farm. Zh. (1984), 18(2), 159-63
 CODEN: KHFZAN; ISSN: 0023-1134
 DT Journal
 LA Russian
 OS CASREACT 101:23433
 GI



AB RCOC(CH2R1):NNHC6H4R2-p (R = R2 = Me, R1 = Me, Et; R = Pr, R1 = Me, R2 = H, Me, MeO, Cl; R = Me, R1 = Ph, R2 = NO2), prep'd. in 38.9-60.8% yields by condensation of RCOCH2CH2R1 with HCO2Et followed by coupling with p-R2C6H4N2+Cl-, were cyclized by acid to give 44.5-60% I (R3 = H) which were substituted by BrCH2CH(OBu)2 to give intermediates I [R3 = (BuO)2CHCH2]. The latter were cyclized by NH4OAc-AcOH to give 54.3-66.6% II which were hydrogenated 3-4 h at 50.degree. and 70 atm over Raney Ni to give 84.5-91.2% III (R = R2 = Me, R1 = Me, Et; R = Pr, R1 = Me, R2 = H, Me). III (R = R2 = Me, R1 = Me, Et) were effective antidepressants as shown by their 50% redn. of reserpine-induced ptosis in mice at 20-25 mg/kg.

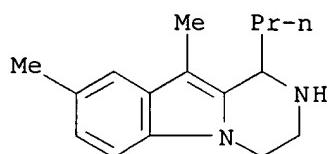
IT 62268-26-2P 90237-32-4P 90237-33-5P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and antidepressant activity of)

RN 62268-26-2 CAPLUS

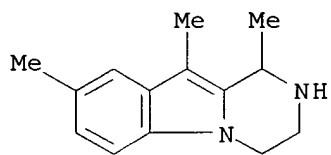
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

R3



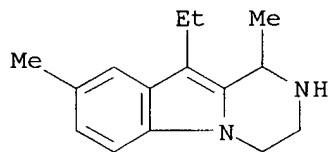
● HCl

RN 90237-32-4 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-1,8,10-trimethyl-,
monohydrochloride (9CI) (CA INDEX NAME)



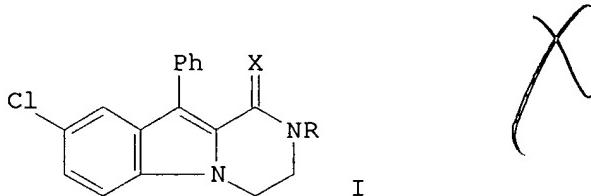
● HCl

RN 90237-33-5 CAPLUS
CN Pyrazino[1,2-a]indole, 10-ethyl-1,2,3,4-tetrahydro-1,8-dimethyl-,
monohydrochloride (9CI) (CA INDEX NAME)



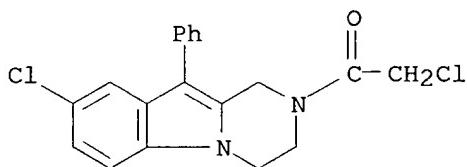
● HCl

L22 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1977:468421 CAPLUS
 DN 87:68421
 TI 10-Aryl-1,2,3,4-tetrahydropyrazino[1,2-a]indole and derivatives
 IN Freed, Meier E.
 PA American Home Products Corp., USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 ----- ----- -----
 PI US 4022778 A 19770510 US 1971-196178 19711105
 GI

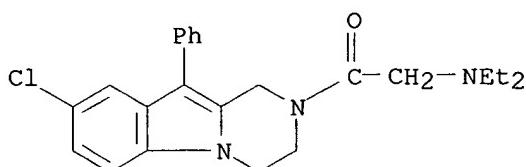


AB The pyrazinoindoles I [R = H, Me2N(CH2)3, ClCH2CO, Et2NCH2CO, Et2NCH2CH2, pyrrolidino; X = O, H2] were prepd. Thus, Et N-(2-benzoyl-4-chlorophenyl)glycinate was cyclized with EtONa and the Et 3-phenyl-5-chloro-2-indolecarboxylate treated with ClCH2CN followed by redn. and cyclization to give I (R = H, X = O), which was treated with Me2N(CH2)3Cl and reduced to give I [R = Me2N(CH2)3, X = H2]. At 127-400 mg/kg I were central nervous system depressants and anticonvulsants.

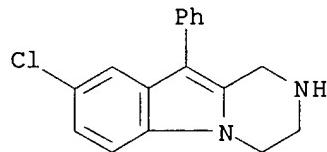
IT **63458-12-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction with diethylamine)
 RN 63458-12-8 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-2-(chloroacetyl)-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



IT **63458-13-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and redn. of)
 RN 63458-13-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-2-[(diethylamino)acetyl]-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



IT 39626-24-9P 63458-11-7P 63458-14-0P
 63458-16-2P 63458-17-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep. of)
 RN 39626-24-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)

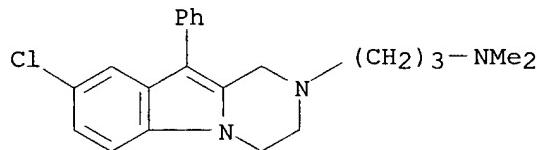


RN 63458-11-7 CAPLUS
 CN Pyrazino[1,2-a]indole-2(1H)-propanamine, 8-chloro-3,4-dihydro-N,N-dimethyl-10-phenyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 63458-10-6

CMF C22 H26 Cl N3



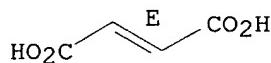
CM 2

CRN 110-17-8

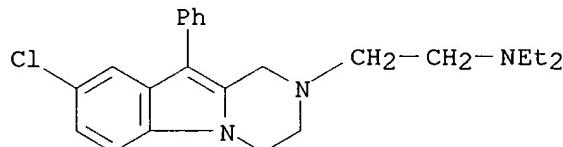
CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

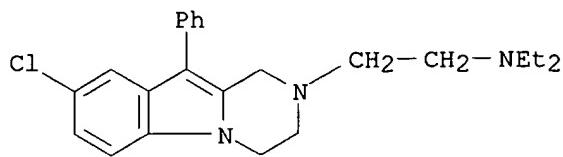


RN 63458-14-0 CAPLUS
 CN Pyrazino[1,2-a]indole-2(1H)-ethanamine, 8-chloro-N,N-diethyl-3,4-dihydro-10-phenyl- (9CI) (CA INDEX NAME)



RN 63458-16-2 CAPLUS

CN Pyrazino[1,2-a]indole-2(1H)-ethanamine, 8-chloro-N,N-diethyl-3,4-dihydro-10-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

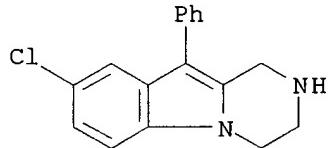
RN 63458-17-3 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 39626-24-9

CMF C17 H15 Cl N2



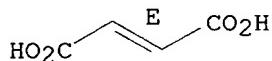
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L22 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2002 ACS

AN 1977:447919 CAPLUS

DN 87:47919

TI Comparative study of the pharmacological activity of some pyrazidol structural analogs and their effect on neuronal capture of noradrenaline and on the activity of the monoamine oxidase

AU Andreeva, N. I.; Altukhova, L. B.; Asnina, V. V.; Vasil'evykh, L. G.; Gorkin, V. Z.; Mashkovskii, M. D.

CS Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR

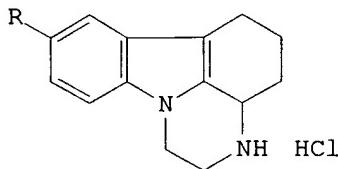
SO Khim.-Farm. Zh. (1976), 10(11), 46-9

CODEN: KHFZAN

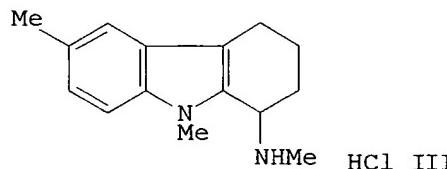
DT Journal

LA Russian

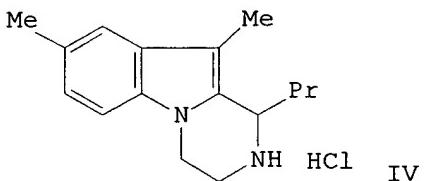
GI



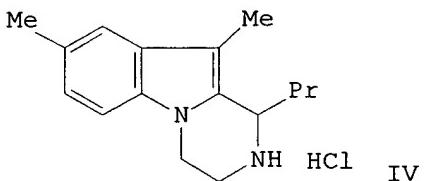
I, R=Me
II, R=H



✓



Similar to
Cainac



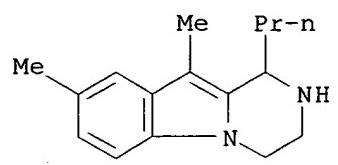
AB Pyrazidol (I) [16154-78-2] (25 mg/kg) given s.c. to mice inhibited reserpine-induced eyelid ptosis 40-60% and increased the group toxic effect of phenamine, 5-hydroxytryptamine-induced head shaking, and tryptamine-induced convulsions. At 5 mg/kg i.v. I increased the pressor response to tyramine in cats, at 10-5M inhibited uptake of noradrenaline by isolated rat heart, and at 10-3M inhibited monoamine oxidase activity of rat liver. II [16154-77-1] (demethylated in position 8) produced similar but weaker effects. III [63127-68-4] (with an open D ring) had no effect on reserpine ptosis or on the effects of phenamine or tyramine or on noradrenaline uptake by rat heart, but it potentiated the effects of 5-hydroxytryptamine and tryptamine and inhibited monoamine oxidase. IV [62268-26-2] (with an open C ring) only inhibited the pressor activity of tyramine and inhibited monoamine oxidase activity. Thus, the pharmacol. effects of I depended on the 1,10-trimethylenepiperazinendole heterocycle and a Me in position 8.

IT 62268-26-2

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of, structure in relation to)

RN 62268-26-2 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L22 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2002 ACS

AN 1975:497412 CAPLUS

DN 83:97412

TI 1,4-Benzodiazepine derivatives

IN Hellerbach, Joseph; Walser, Armin

PA Hoffmann-La Roche, F., und Co., A.-G., Switz.

SO Patentschrift (Switz.), 5 pp. Division of Swiss 560,201.

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 561702	A	19750515	CH 1974-16086	19690311

GI For diagram(s), see printed CA Issue.

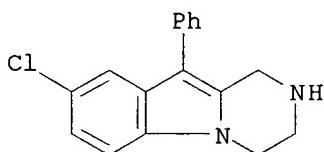
AB Cleavage of pyrazino[1,2-a]indole I gave 1-(2-benzoyl-4-chlorophenyl)piperazine-2,3-dione, which was cyclized to give benzodiazepine II (R = H), which was methylated to give II (R = Me). Et 5-chloro-3-phenylindole-2-carboxylate was treated with ClCH₂CN to give Et 5-chloro-1-cyanomethyl-3-phenylindole-2-carboxylate, which was reduced to give 1-(2-aminoethyl)-5-chloro-3-phenylindole-2-methanol, which was cyclized to give I.

IT 39626-24-9

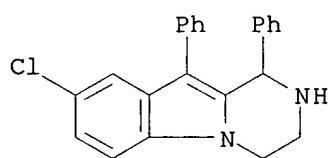
RL: RCT (Reactant)
(cleavage of)

RN 39626-24-9 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)



L22 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2002 ACS
AN 1975:140093 CAPLUS
DN 82:140093
TI Pyrazino[1,2-a]-[1,2-a]indoles. II. Synthesis of 1-substituted and 1,4-diazepino 10-phenyl-3,4-dihydropyrazino(1,2-a)indoles and 11-phenyl-4,5-dihydro-3H-1,4-diazepino(1,2-a)indoles
AU Gatta, F.; Zaccari, V.; Huidobro-Toro, J. P.; Chiavarelli, S.
CS Lab. Chim. Ter., Ist. Super Sanita, Rome, Italy
SO Farmaco, Ed. Sci. (1975), 30(1), 58-69
CODEN: FRPSAX
DT Journal
LA Italian
GI For diagram(s), see printed CA Issue.
AB The condensed indoles I (R = H, Cl; R1 = Me, Ph; n = 2, 3) were prepd. by treating the indoles II (R = H, Cl; R2 = R3 = H) with ClCH₂CN or II (R = H, Cl; R2 = H; R3 = Bz) with CH₂:CHCN, reducing and acylating II [R2 = (CH₂)_n-1CN] and cyclizing II [R2 = (CH₂)_nNHCOR3; R3 = Me, Ph] with POCl₃ or polyphosphoric acid. Catalytic hydrogenation of I gave the 1,2-dihydro derivs. Both I and their 1,2-dihydro derivs. were sedatives, muscle relaxants, and antiadrenergics.
IT 54735-16-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54735-16-9 CAPLUS
CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-1,10-diphenyl- (9CI)
(CA INDEX NAME)



L22 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2002 ACS

AN 1974:108577 CAPLUS

DN 80:108577

TI Piperazinoindole derivatives

IN Yamamoto, Hisao; Okamoto, Tadashi; Kobayashi, Tsuyoshi

PA Sumitomo Chemical Co., Ltd.

SO Japan., 3 pp.

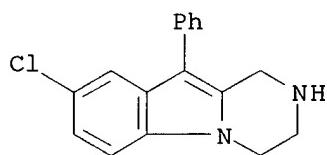
CODEN: JAXXAD

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 48030080	B4	19730917	JP 1968-70340	19680927
GI	For diagram(s), see printed CA Issue.				
AB	Title nervous system depressants (I, R ₁ = lower alkyl, aralkyl, aryl, R = H, halogen, lower alkoxy) were prep'd. by treating I (R ₁ = H) with an alc. or alkyl halide. Thus, 2.8 g I (R = 7-Cl, R ₁ = H) was treated with NaH followed by PhCH ₂ Br in DMF to give 2.8 g I (R = 7-Cl, R ₁ = PhCH ₂).				
IT	39626-24-9				
	RL: RCT (Reactant) (benzylation of)				
RN	39626-24-9 CAPLUS				
CN	Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)				



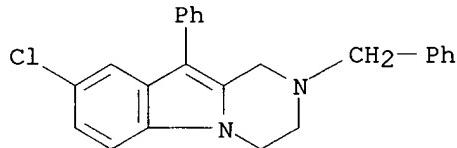
X

IT **52534-54-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

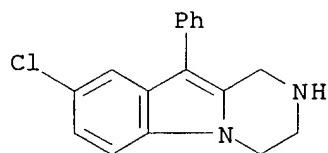
RN 52534-54-0 CAPLUS

CN Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

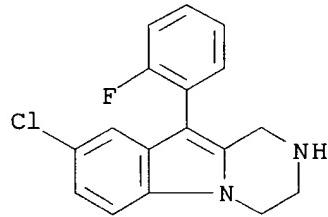


L22 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1973:29813 CAPLUS
 DN 78:29813
 TI Piperazinoindole derivatives
 IN Okamoto, Tadashi; Arasaki, Seitetsu; Kobayashi, Tsuyoshi; Izumi, Takuhiro;
 Yamamoto, Hisao
 PA Sumitomo Chemical Co., Ltd.
 SO Jpn. Tokkyo Koho, 3 pp.
 CODEN: JAXXAD
 DT Patent
 LA Japanese
 FAN.CNT 1

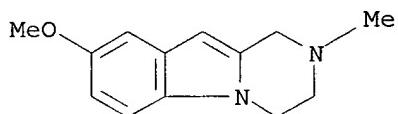
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 47041359	B4	19721019	JP 1968-23507	19680408
GI	For diagram(s), see printed CA Issue.				
AB	A suspension of 1-cyanomethyl-2-carboethoxy-3-phenyl-5-chloroindole (4.95 g) in Et ₂ O was added dropwise to Et ₂ O contg. LiAlH ₄ at room temp. and the mixt. refluxed 2 hr to give 4.2 g 7-chloro-9-phenylpiperazino[1,2-a]indole (I). Similarly prep'd. was 9-(o-fluorophenyl) deriv. with central nervous system depressant activity.				
IT	39626-24-9P 39626-25-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	39626-24-9 CAPLUS				
CN	Pyrazino[1,2-a]indole, 8-chloro-1,2,3,4-tetrahydro-10-phenyl- (9CI) (CA INDEX NAME)				



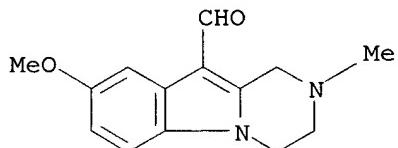
RN 39626-25-0 CAPLUS
 CN Pyrazino[1,2-a]indole, 8-chloro-10-(2-fluorophenyl)-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



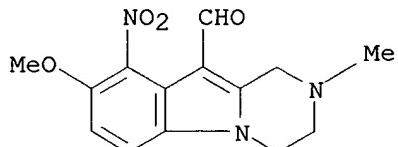
L22 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1972:113169 CAPLUS
 DN 76:113169
 TI Synthesis of mitomycin analogs. I. Synthesis of 2-methylpiperazino[1,2-a]indole-6,9-diones
 AU Yamada, Yasuhiro; Takai, Haruki; Hatano, Kota; Sakakibara, Masayuki;
 Matsui, Masanao
 CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan
 SO Agr. Biol. Chem. (1972), 36(1), 106-11
 CODEN: ABCHA6
 DT Journal
 LA English
 GI For diagram(s), see printed CA Issue.
 AB Alkylation of the indolecarboxylate (I) with Et bromoacetate and NaH in THF, followed by reaction with MeNH₂ and heating, gave a dione (II). Redn. of II, followed by formylation, yielded the aldehyde (III). Nitration of III followed by redn. gave the aminoaldehyde (IV). Oxidn. of IV followed by redn. gave a hydroquinone, which on oxidn. gave the 2-methylpiperazino[1,2-a]-indole-6,9-dione (V, R₁ = H, R₂ = OMe), from which were prep'd. V (R₁ = CONH₂, CONHMe; R₂ = NH₂, OMe). V (R₁ = CONH₂, R₂ = OMe), e.g., was effective in vitro against, e.g., *Staphylococcus aureus* at min. inhibitory concn. 0.19 .mu.g/ml.
 IT 35727-28-7P 35727-29-8P 35727-30-1P
35727-31-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 35727-28-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 35727-29-8 CAPLUS
 CN Pyrazino[1,2-a]indole-10-carboxaldehyde, 1,2,3,4-tetrahydro-8-methoxy-2-methyl- (9CI) (CA INDEX NAME)

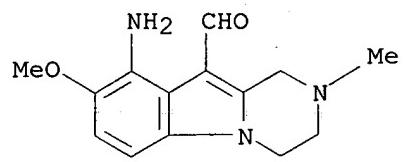


RN 35727-30-1 CAPLUS
 CN Pyrazino[1,2-a]indole-10-carboxaldehyde, 1,2,3,4-tetrahydro-8-methoxy-2-methyl-9-nitro- (9CI) (CA INDEX NAME)

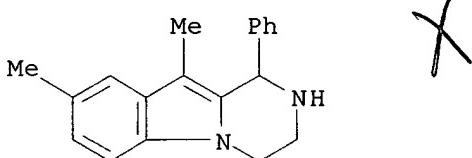


RN 35727-31-2 CAPLUS
 CN Pyrazino[1,2-a]indole-10-carboxaldehyde, 9-amino-1,2,3,4-tetrahydro-8-

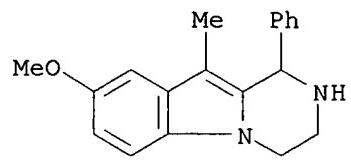
methoxy-2-methyl- (9CI) (CA INDEX NAME)



L22 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1969:87750 CAPLUS
 DN 70:87750
 TI Synthesis of pyrazino(1,2-a)indoles
 AU Shvedov, V. I.; Alekseev, V. V.; Altukhova, L. B.; Grinev, A. N.
 CS Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
 SO Khim.-Farm. Zh. (1968), 2(12), 3-7
 CODEN: KHFZAN
 DT Journal
 LA Russian
 GI For diagram(s), see printed CA Issue.
 AB The title compds. (I) were prep'd. as follows: to a suspension of 0.1 mole deriv. of 2-aryl-3-methylindole (II) in 60 ml. abs. dioxane was added an alc. soln. of 0.1 g.-atom Na, the solvents distd. and to the residue was added with stirring a soln. of 0.1 mole BrCH₂CH(OBu)₂ in 100 ml. dry HCONMe₂. The mixt. was refluxed 1 hr., cooled, poured into H₂O, extd. with C₆H₆, the ext. was dried by azeotropic distn. and the solvent distd. in vacuo. The residue was dissolved in 300 ml. AcOH, 0.3 mole AcONH₄ was added, the mixt. refluxed 1 hr., the AcOH distd. in vacuo, the residue poured into H₂O and alkalized to give the following I (R, R₁, % yield, and m.p. given): H, H, 63, 132-3.degree.; Me, H, 65, 140-1.degree.; H, Me, 61.5, 145-6.degree.; H, MeO, 55, 150-1.degree.; MeO, H, 74, 256-7.degree. (decompn.); Cl, Cl, 68, 203-4.degree.; Me, MeO, 51.2, 162-3.degree.. To a boiling soln. of 0.02 mole I in 200 ml. abs. alc. was added every 5-10 min. Na to a total of 20.7 g., the mixt. was refluxed with stirring 15-20 min., dild. (H₂O), the alc. distd. in vacuo, the residue sepd. and dried to give the following III (R, R₁, % yield, and m.p. given): H, H, 98.4, 135-6.degree.; Me, H, 93, 115-16.degree.; MeO, H, 94, 145-6.degree.. To a suspension of 2.5 g. 2-p-toluoyl-3-methylindole in 10 ml. abs. dioxane was added an alc. soln. of 0.23 g. Na, the solvents distd. in a Wood's alloy bath at 120.degree. and then in vacuo. To the residue was added 1.5 g. Cl(CH₂)₂NET₂ and 1 ml. HCONMe₂, the mixt. heated 1 hr. at 130-40.degree., treated with H₂O, extd. with C₆H₆ and worked up to yield 73% 1-[.beta.- (diethylamino)ethyl]-2-p-toluoyl-3-methylindole-HCl, m. 223-4.degree. (dioxane). Similarly, from 7.89 g. 2-p-toluoyl-3,5-dimethylindole, 15 ml. abs. dioxane, 0.69 g. Na and 3.8 g. .gamma.- (dimethylamino)propyl chloride resulted 83.5% 1-[.gamma.- (dimethylamino)-propyl]-2-p-toluoyl-3,5-dimethylindole-HCl, m. 126-7.degree. (C₆H₆-petroleum ether). To a soln. of 0.01 mole II in 10 ml. abs. dioxane was added 0.011 mole CH₂(NET₂)₂, the soln. heated 2.5 hrs. on a water bath, distd. in vacuo and worked up to give the following IV (R, R₁, % yield and m.p. given): Me, H, 70, 73-4.degree.; H, MeO, 85.2, 90-90.5.degree.; Me, Me, 87, 76-7.degree...
 IT 21689-24-7P 21689-26-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 21689-24-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-phenyl- (8CI)
 (CA INDEX NAME)



RN 21689-26-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)

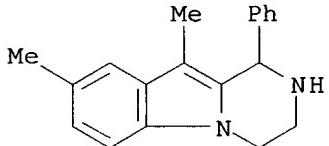


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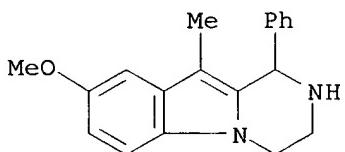
L22 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2002 ACS
 AN 1969:57834 CAPLUS
 DN 70:57834
 TI 1-Aryl-10-alkyl-1,2,3,4-tetrahydropyrazino[1,2-a]indoles
 IN Shvedov, V. I.; Altukhova, L. B.; Grinev, A. N.; Alekseev, V. V.
 PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical
 Institute
 SO U.S.S.R.
 From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1968, 45(29), 23.
 CODEN: URXXAF
 DT Patent
 LA Russian
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI SU 226619		19680916	SU	19670728

AB The title compds. are prep'd. by subjecting 1-aryl-10-alkylpyrazino[1,2-a]indoles or their hydrochlorides to redn. with Na in EtOH at the b.p. of the reaction mixt.
 IT **21689-24-7P 21689-26-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 21689-24-7 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8,10-dimethyl-1-phenyl- (8CI)
 (CA INDEX NAME)



RN 21689-26-9 CAPLUS
 CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-10-methyl-1-phenyl- (8CI) (CA INDEX NAME)



AN 1968:21956 CAPLUS

DN 68:21956

TI Substituted 1,2,3,4-tetrahydropyrazino[1,2a]indoles

IN Freed, Meier E.

PA American Home Products Corp.

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3317524		19670502	US	19650204

GI For diagram(s), see printed CA Issue.

AB The compds. I, II, and III, where R is di(lower alkyl)amino(lower alkyl), H, morpholino(lower alkyl), lower alkyl benzyl, piperidino(lower alkyl), cyclohexyl, or di(lower alkoxy)phenethyl, R1 is H or lower alkyl, R2 is H, benzyloxy, phenoxy, lower alkoxy, or fluorine, and X is O or two H are described as well as their addn. salts. For example, a mixt. of 25 g. diethyl 2-carboxyindole-1-acetate (IV) and 64.4 g. dimethylaminoethylamine was refluxed 26 hrs. After cooling, the mixt. solidified and was dild. with 500 cc. petroleum ether to give 27 g. N,N'-bis(dimethylaminoethyl)-2-carbamoylindole-1-acetamide (V) m. 141-3.degree. (Me₂CO-petroleum ether). Then, 5 g. V was heated at 140-50.degree. and 200 mm. Some dimethylaminoethylamine was collected and the pot temp. raised slowly to 200.degree. and kept there for 2 hrs. The distillate amounted to 0.82 g. (67%). The residue was cooled to room temp., dissolved in 50 cc. boiling Me₂CO, treated with Norite A and filtered hot. The filtrate was concd. to 30 cc., petroleum ether added to turbidity, and cooled to give 35.3% 2-dimethylaminoethyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (VI) m. 165-70.degree. (heptane-acetone); HCl salt m. 268-9.degree. (decompn.) (EtOH-Et₂O). Also, 15.1 g. IV and 5.63. g, N,N'-dimethylaminopropylamine in 75 cc. p-cymene was heated to 175-80.degree. and 3.2 cc. EtOH collected. The reaction temp. was increased to 200.degree. and kept there until pur-p-cymene distd. The total time of heating was 28 hrs. The reaction mass was cooled to give 52% 2-dimethyl-aminopropyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (VII) m. 159-62.degree. (toluene-petroleum ether); HCl salt m. 258.5-60.degree. (MeOH-Et₂O). Similarly prep'd. were 65% 2-morpholinoethyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (VIII) m. 221-2.degree.; HCl salt m. 288-90.degree. (MeOH-Et₂O); 61% 2-(2-piperidinoethyl)-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (IX), m. 201-2.degree., HCl salt m. 290-1.degree. (Me₂CO-iso-PrOH). A soln. of 20 g. IV and 7.5 g. cyclohexylamine in 50 cc. diphenyl ether was heated to 200.degree. 24 hrs. under a stream of N. The mixt. was cooled to 35.degree. and washed with petroleum ether to give 78% N-cyclohexyl-2-ethoxycarbonylindole-1-acetamide (X), m. 171-4.degree.. Also prep'd. were 2-cyclohexyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (XI), m. 267-8.degree.; 70% N-methyl-2-N-methylcarbamoylindole-1-acetamide (XII) m. 248-9.degree.; 33% 2-methyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (XIII) m. 230-9.degree. (decompn.). Then, 3 g. of a suspension of LiAlH₄ in 75 cc. tetrahydrofuran was prep'd. and to this well stirred suspension, a soln. of 7.4 g. VI in 200 cc. tetrahydrofuran was added. The reaction mixt. was refluxed and stirred 24 hrs. After cooling, the mixt. was decompd. with 10 cc. H₂O, the inorg. material filtered off, washed with tetrahydrofuran contg. a small amt. of iso-PrOH, and the filtrate concd. in vacuo to yield 5 g. 79.5% of crude 2-(dimethylaminoethyl)-1,2,3,4-tetrahydropyrazino[1,2a]indole, di-HCl salt m. 260-2.degree.. Similarly prep'd. was 71.2% 2-(3-dimethylaminopropyl)-1,2,3,4-tetrahydropyrazino[1,2a]indole, b0.7 156-60.degree., HCl salt m. 272-4.degree. (MeOH-Me₂CO). NH₃ was passed into 200 cc. cold MeOH until the vol. increased by 30 cc. This soln. was used to treat 20 g. IV at

room temp. for 1 week in a pressure bottle. The ppt. was filtered off, washed, and dried to give 79.5% 2-carbamoylindole-1-acetamide m. 250-50.5.degree.. Similarly, MeNH₂ was passed into 50 cc. MeOH until the vol. reached 125 cc. This soln. was used to treat 5 g. 1-carbethoxymethyl-2-carbethoxy-5-methoxyindole (XIV) at room temp. 6 days. The ppt. was filtered off, washed, and dried to give 75.2% N-methyl-2-methylcarbamoyl-5-methoxyindole-1-acetamide (XV) m. 251.5-2.0.degree.. Also prep'd. was 85.5% N-(2-morpholinoethyl)-2-[(2-morpholinoethyl)carbamoyl]indole-1-acetamide (XVI), m. 161.0-2.5.degree. (MeCN) and 70.7% 2-dimethylaminoethylcarbamoyl-N-dimethylaminoethyl-5-methoxyindole-1-acetamide m. 154-5.degree.; 67.2% N-cyclohexyl-2-cyclohexylcarbamoylindole-1-acetamide, m. 268-71.5.degree.; 75.9% N-(3-dimethylaminopropyl)-2-(3-dimethylaminopropylcarbamoyl)indole-1-acetamide (XVII), m. 126.5-8.0.degree.; 81.3% 5-benzyloxy-N-methyl-2-methylcarbamoylindole-1-acetamide (XVIII), m. 260-60.5.degree.; 40% 2-morpholinoethyl-1,3-dioxo-1,2,3,4-tetrahydro-8-methoxypyrazino(1,2a)indole (XIX), m. 195-6.degree., HCl salt m. 260-1.degree.; 63.4% N-(3,4-dimethoxyphenethyl)-2-ethoxycarbonylindole-1-acetamide m. 138-9.degree. (Me₂CO); 45.8% 2-[2-(3,4-dimethoxyphenyl)ethyl]-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (XX) m. 188-90.degree.; 86.9% 2-methyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole, m. 238-45.degree.; 52.6% 2-benzyl-1,3-dioxo-1,2,3,4-tetrahydropyrazino[1,2a]indole (XXI), m. 211.5-12.5.degree.; 22.7% 2-methyl-1,3-dioxo-1,2,3,4-tetrahydro-8-benzyloxy-1,2a]indole, m. 242-4.degree.; 74.1% 2-methyl-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 130-3.degree., HCl salt m. 248-50.degree. (EtOH); 2-(3,4-dimethoxyphenethyl)-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 134-5.degree., fumarate salt m. 180-1.degree. (Me₂CO); 50.7% 2-cyclohexyl-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 145-6.degree., HCl salt m. 253-5.degree. (MeCN); 2-(morpholinoethyl)-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 106-7.degree.; 56.5% 2-(morpholinoethyl)-1,2,3,4-tetrahydro-8-methoxypyrazino[1,2a]indole m. 138-9.degree., fumarate salt m. 207-9.degree.; 66.6% 2-(2-piperidinoethyl)-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 81-3.degree., HCl salt m. 285-7.degree. (iso-PrOH); 79% 2-benzyl-1,2,3,4-tetrahydropipеразино[1,2a]indole (XXII) m. 89-90.degree., HCl salt m. 227-30.degree.. A soln. of 3.8 g. XXII in 175 cc. MeOH was debenzylated by shaking with 0.6 g. Pd-C and H. at 45 psi. and 50.degree.. After 4 hrs., the catalyst was removed, the filtrate concd. to 50 cc., cooled, and the HCl salt ppt'd. by the addn. of 100 cc. Et₂O to give 68.2% 1,2,3,4-tetrahydropyrazino[1,2a]indole m. 240-1.degree.. Also prep'd. were 2-methyl-8-benzyloxy-1,2,3,4-tetrahydropyrazino[1,2a]indole m. 126.5-8.0.degree., HCl salt (hemihydrate) m. 218-20.degree., 2-dimethylaminoethyl-1,3-dioxo-1,2,3,4-tetrahydro-8-fluoropiperazino[1,2a]indole, and 2-dimethylaminoethyl-1,2,3,4-tetrahydro-8-fluoropyrazino[1,2a]indole.

IT 16360-26-2P 16360-31-9P 16360-32-0P

18637-51-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

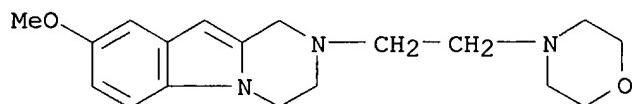
RN 16360-26-2 CAPLUS

CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-2-(2-morpholinoethyl)-, fumarate (1:2) (8CI) (CA INDEX NAME)

CM 1

CRN 18637-51-9

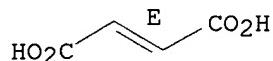
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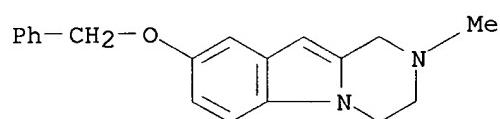
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

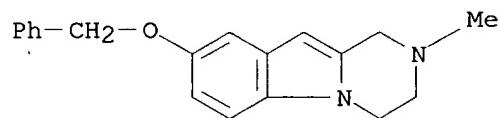
Double bond geometry as shown.



RN 16360-31-9 CAPLUS
CN Pyrazino[1,2-a]indole, 8-(benzyloxy)-1,2,3,4-tetrahydro-2-methyl- (8CI)
(CA INDEX NAME)



RN 16360-32-0 CAPLUS
CN Pyrazino[1,2-a]indole, 8-(benzyloxy)-1,2,3,4-tetrahydro-2-methyl-,
monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 18637-51-9 CAPLUS
CN Pyrazino[1,2-a]indole, 1,2,3,4-tetrahydro-8-methoxy-2-(2-morpholinoethyl)-
(8CI) (CA INDEX NAME)

